L Number	Hits	Search Text	DB	Time stamp
1	3235	514/18	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:06
2	0	514/18 and 1,-bezothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:06
3	0	514/18 and 1,-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:06
4	2	514/18 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:07
5	2732	514/19	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:07
6	2	514/19 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:08
7	2779	514/23	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:07
8	1	514/23 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:08
9	2319	530/330	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:08
10	2	530/330 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:09
11	2184	530/331	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:08
12	2	530/331 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:09
13	773	536/17.2	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:09
14	1	536/17.2 and 1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:10
15	2	1,4-benzothiepine	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:10
16	2	1,4-benzothiepine ADJ 1,1-dioxide	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:11

17	31	"6221897"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:12
18	29	benzothiepine ADJ 1,1-dioxide	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:27
19	2	1,4-benzothiepine ADJ 1,1-dioxide	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/08/25 12:27

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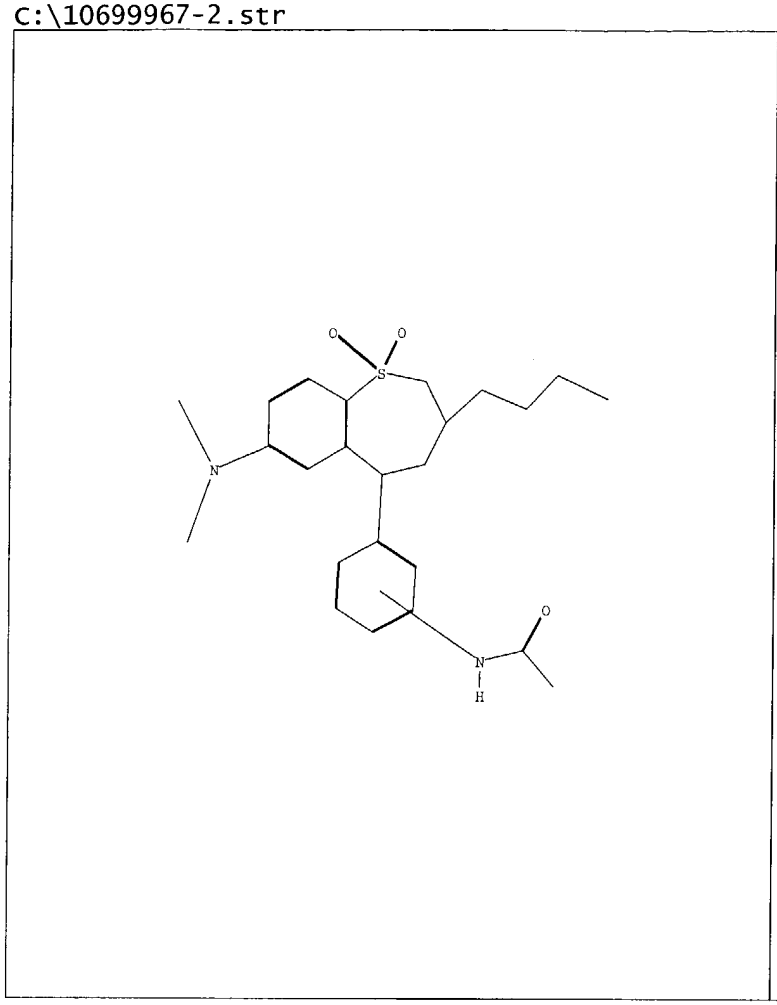

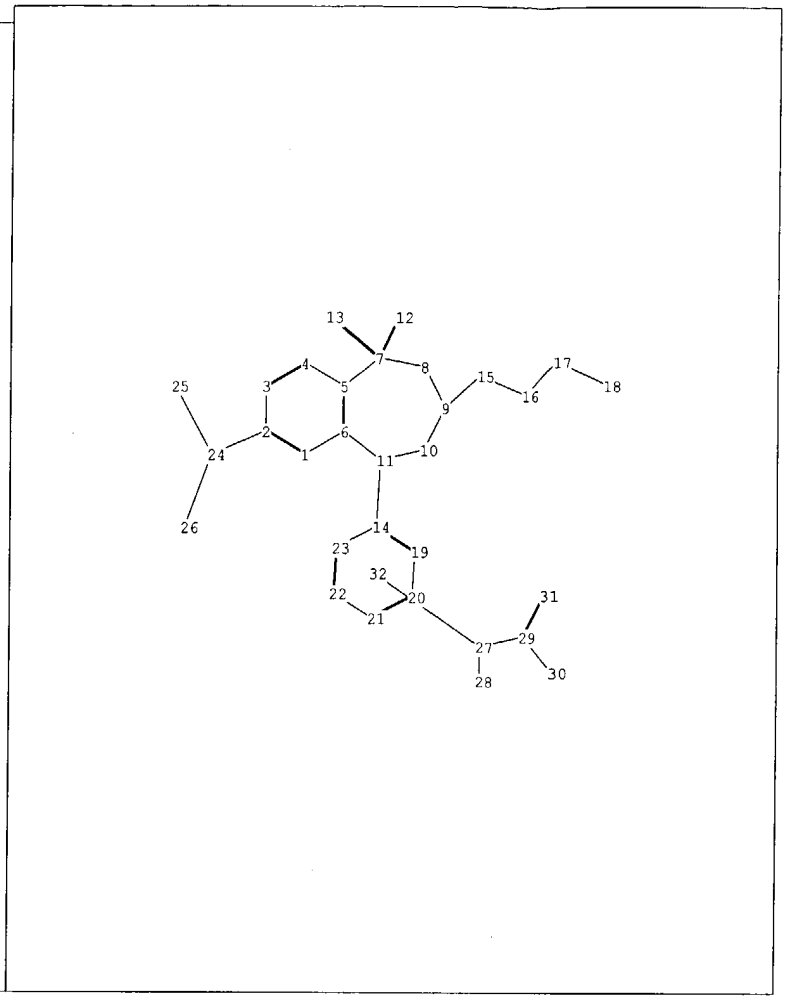

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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 14 19 20 21 22 23
chain bonds :
2-24 7-12 7-13 9-15 11-14 15-16 16-17 17-18 24-25 24-26 27-28 27-29 29-30
29-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 10-11 14-19 14-23 19-20
20-21 21-22 22-23
exact/norm bonds :
2-24 5-7 6-11 7-8 7-12 7-13 8-9 9-10 10-11 24-25 24-26 27-29 29-31
exact bonds :
9-15 11-14 15-16 16-17 17-18 27-28 29-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-19 14-23 19-20 20-21 21-22 22-23

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom
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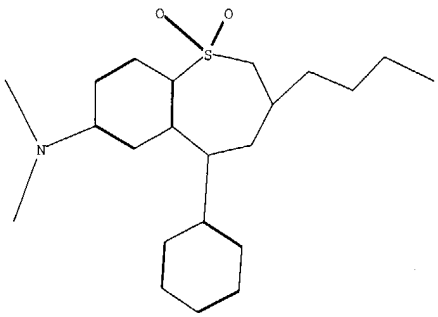

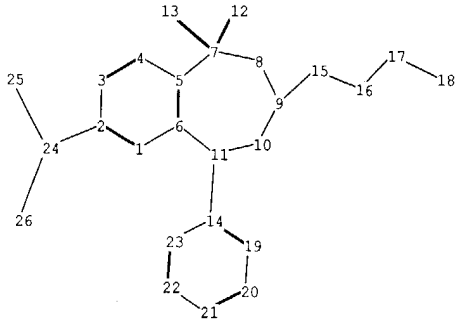

chain nodes :
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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 14 19 20 21 22 23
chain bonds :
2-24 7-12 7-13 9-15 11-14 15-16 16-17 17-18 24-25 24-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 10-11 14-19 14-23 19-20
20-21 21-22 22-23
exact/norm bonds :
2-24 5-7 6-11 7-8 7-12 7-13 8-9 9-10 10-11 24-25 24-26
exact bonds :
9-15 11-14 15-16 16-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-19 14-23 19-20 20-21 21-22 22-23

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS

```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
NEWS  2           "Ask CAS" for self-help around the clock
NEWS  3  May 12   EXTEND option available in structure searching
NEWS  4  May 12   Polymer links for the POLYLINK command completed in REGISTRY
NEWS  5  May 27   New UPM (Update Code Maximum) field for more efficient patent
                  SDIs in CAplus
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NEWS  7  Jun 28   Additional enzyme-catalyzed reactions added to CASREACT
NEWS  8  Jun 28   ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
                  and WATER from CSA now available on STN(R)
NEWS  9  Jul 12   BEILSTEIN enhanced with new display and select options,
                  resulting in a closer connection to BABS
NEWS 10  Jul 30   BEILSTEIN on STN workshop to be held August 24 in conjunction
                  with the 228th ACS National Meeting
NEWS 11  AUG 02   IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                  fields
NEWS 12  AUG 02   CAplus and CA patent records enhanced with European and Japan
                  Patent Office Classifications
NEWS 13  AUG 02   STN User Update to be held August 22 in conjunction with the
                  228th ACS National Meeting
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                  (Version 7.01 for Windows) now available
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                  STN Express with Discover! will change September 1, 2004

NEWS EXPRESS  JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 16:29:25 ON 25 AUG 2004

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 16:30:00 ON 25 AUG 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   24 AUG 2004  HIGHEST RN 732209-96-0
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DICTIONARY FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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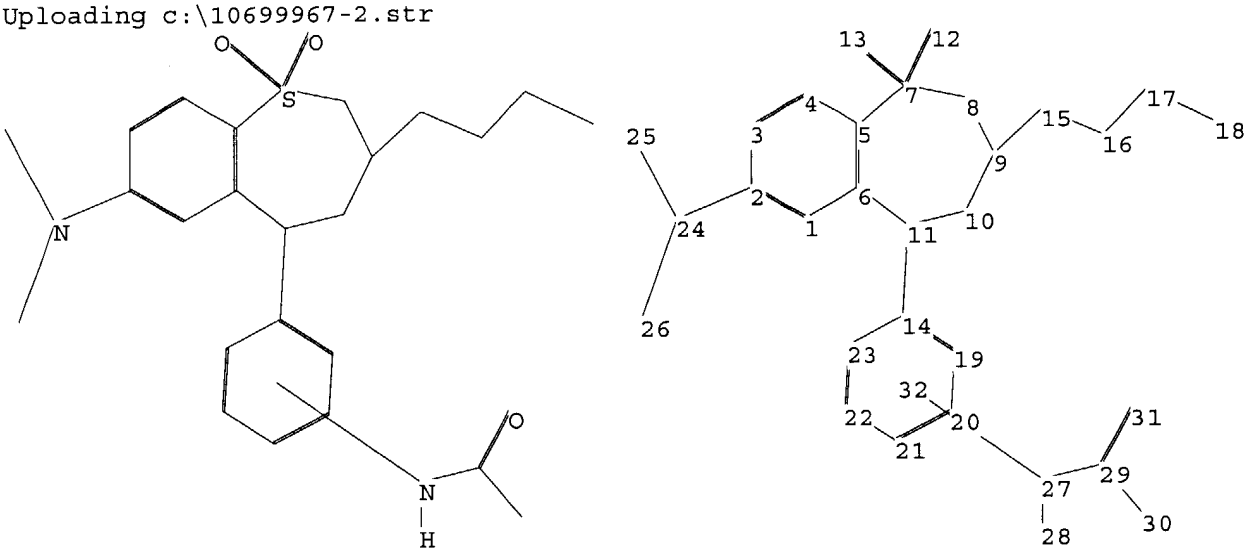
Uploading c:\10699967-2.str



chain nodes :
12 13 15 16 17 18 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 14 19 20 21 22 23
chain bonds :
2-24 7-12 7-13 9-15 11-14 15-16 16-17 17-18 24-25 24-26 27-28 27-29
29-30
29-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 10-11 14-19 14-23 19-20
20-21 21-22 22-23
exact/norm bonds :
2-24 5-7 6-11 7-8 7-12 7-13 8-9 9-10 10-11 24-25 24-26 27-29 29-31
exact bonds :
9-15 11-14 15-16 16-17 17-18 27-28 29-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-19 14-23 19-20 20-21 21-22 22-23

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS
30:CLASS 31:CLASS
32:CLASS

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 16:30:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     38 TO ITERATE

100.0% PROCESSED      38 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          391 TO     1129
PROJECTED ANSWERS:               3 TO      162

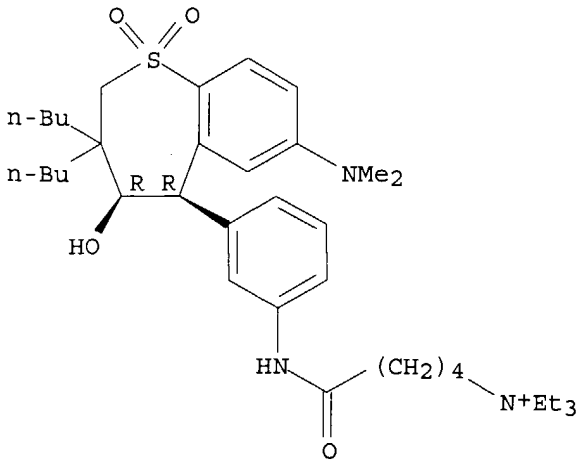
L2            3 SEA SSS SAM L1

=> d scan

L2    3 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN    1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-
      tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-
      triethyl-5-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI)
MF    C37 H60 N3 O4 S . C2 F3 O2

      CM   1

Relative stereochemistry.
```

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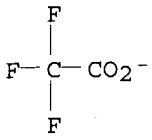
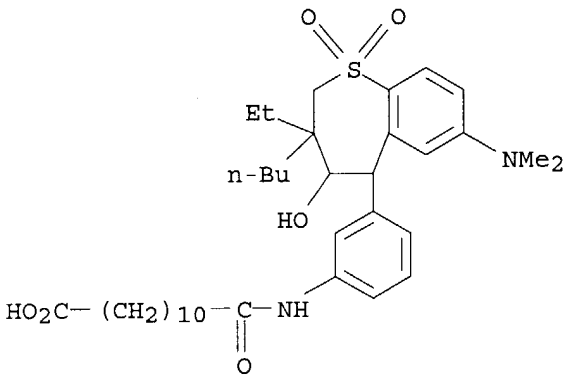


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 3 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Dodecanoic acid, 12-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-12-oxo- (9CI)
MF C36 H54 N2 O6 S

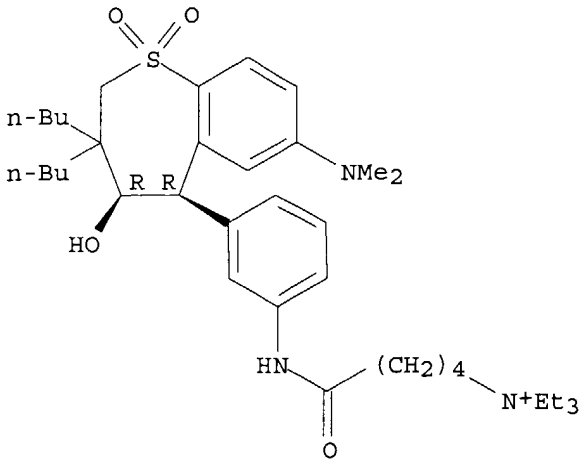


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 3 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel- (9CI)
MF C37 H60 N3 O4 S
CI COM

Relative stereochemistry.



ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 16:31:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 678 TO ITERATE

100.0% PROCESSED 678 ITERATIONS 72 ANSWERS
SEARCH TIME: 00.00.01

L3 72 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	156.05

FILE 'CAPLUS' ENTERED AT 16:31:12 ON 25 AUG 2004
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FILE COVERS 1907 - 25 Aug 2004 VOL 141 ISS 9
FILE LAST UPDATED: 24 Aug 2004 (20040824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/prep and l3/thu
12 L3
3187627 PREP/RL

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                 (L3 (L) PREP/RL)
            12 L3
        614869 THU/RL
            10 L3/THU
                 (L3 (L) THU/RL)
L4           7 L3/PREP AND L3/THU

=> dis l4 1-7 bib abs hitstr

L4   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:60147  CAPLUS
DN   140:111291
TI   Preparation of substituted 5-aryl-benzothiepines as ileal bile acid
     transport and taurocholate uptake inhibitors
IN   Lee, Len F.; Banerjee, Shyamal C.; Huang, Horng Chih; Li, Jinglin J.;
     Miller, Raymond E.; Reitz, David B.; Tremont, Sanuel J.
PA   G.D. Searle and Co., USA
SO   U.S. Pat. Appl. Publ., 235 pp., Cont.-in-part of U.S. Ser. No. 831,284.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 9
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 2004014803        A1    20040122    US 2002-68297          20020208
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         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
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     US 2002013476        A1    20020131    US 2001-828968         20010409
     US 6387924           B2    20020514
     US 2003171426        A1    20030911    US 2002-76091          20020215
     US 6642268           B2    20031104
PRAI US 1994-305526       B2    19940913
     US 1995-517051       B1    19950821
     US 1996-13119P       P     19960311
     US 1997-816065       A2    19970311
     US 2001-828968       A3    20010409
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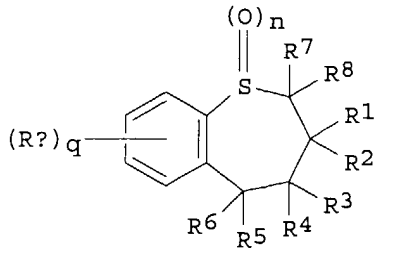

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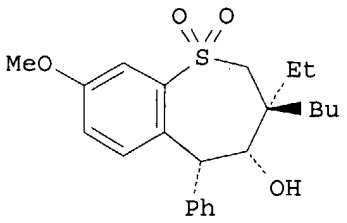
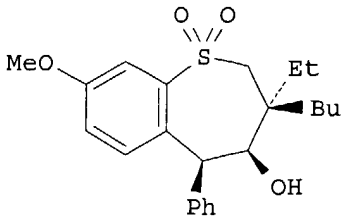


II



III

AB The title compds. (I) [wherein q = 1-4; n = 0-2; R1, R2 = H, (un)substituted (halo)alkyl, alkenyl, alkynyl, alkylaryl, arylalkyl, alkoxy(alkyl), dialkylamino, alkylthio, (polyalkyl)aryl, or cycloalkyl; or R1 and R2 taken together with the atoms to which they are attached = cycloalkyl; R3, R4 = H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocyclyl, OR9, NR9R10, SR9, S(O)R9, SO2R9, or SO3R9; R9, R10 = H, (cyclo)alkyl, alkenyl, alkynyl, aryl(alkyl), acyl, heterocyclyl, or ammoniumalkyl; or R3 and R4 together = :O, :NOR11, :S, :NNR11R12, :NR9, or :CR11R12; R11, R12 = H, (cyclo)alkyl, alkenyl, alkynyl, aryl(alkyl), heterocyclyl, carboxylalkyl, carboalkoxyalkyl, cyanoalkyl, OR9, NR9R10, SR9, S(O)R9, SO2R9, SO3R9, CO2R9, CN, halo, oxo, or CONR9R10; R5, R6 = H, alkyl, aryl, etc.; R7, R8 = H, alkyl; Rx = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl(alkyl), halo(alkyl), (quaternary) heterocyclyl, (quaternary) heteroaryl, polyether, alkoxy, amino, alkylthio, NO2, carboxy, carbamido, etc.] were prepared for the prophylaxis and treatment of hyperlipidemic conditions, such as those associated with atherosclerosis or hypercholesterolemia. Thus, KOBu-t was added to a solution of 2-((2-benzyl-5-methoxyphenylsulfonyl)methyl)-2-ethylhexanal (preparation given) and dry THF cooled to -1.6°C to give, after workup, II and III (96% combined yield). The isomers were separated upon recrystn. II inhibited IBAT-mediated uptake of [14C]-taurocholate in H14 cells with an IC50 of 0.1 μM and reduced serum cholesterol from 143 mg (7%) to 126 mg (2%) compared to control in cholesterol-fed hamsters in a 14-day test. In vitro taurocholate uptake assay data are included for nearly 600 compds. of the invention.

IT **197373-54-9P 197374-04-2P 197374-59-7P 197384-36-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(hypolipemic agent; preparation of substituted 5-aryl-benzothiepines by cyclization of 2-((2-benzyl- and 2-benzoylphenylthio)methyl)alkanals as ileal bile acid transport and taurocholate uptake inhibitors)

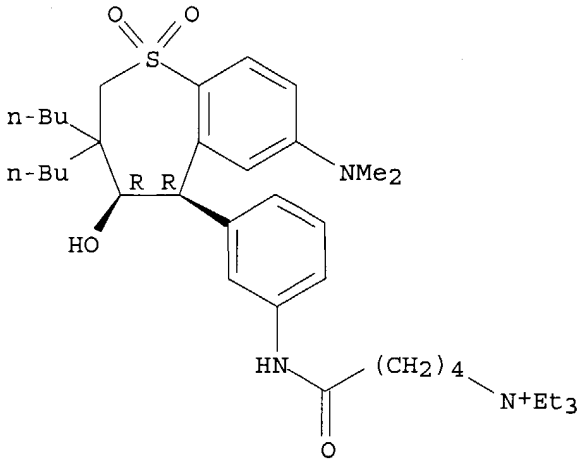
RN 197373-54-9 CAPLUS

CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

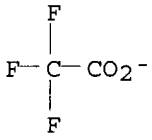
CRN 197373-53-8
CMF C37 H60 N3 O4 S

Relative stereochemistry.



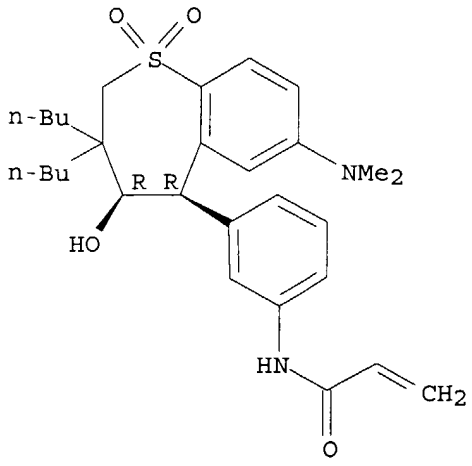
CM 2

CRN 14477-72-6
CMF C2 F3 O2



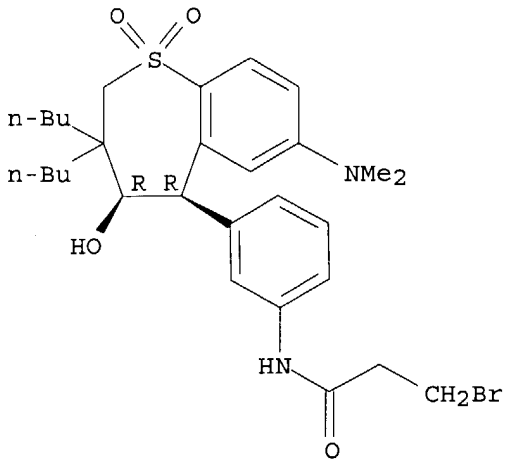
RN 197374-04-2 CAPLUS
CN 2-Propenamide, N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197374-59-7 CAPLUS
CN Propanamide, 3-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

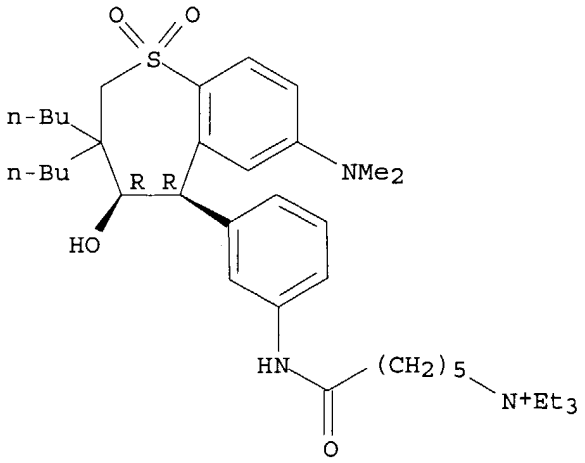


RN 197384-36-4 CAPLUS
CN 1-Hexanaminium, 6-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-6-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

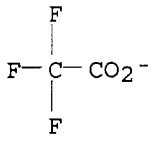
CRN 197384-35-3
CMF C38 H62 N3 O4 S

Relative stereochemistry.



CM 2

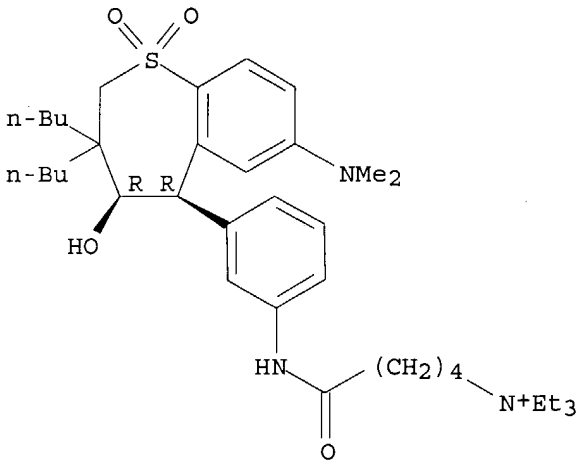
CRN 14477-72-6
CMF C2 F3 O2



IT **197373-53-8P 647859-06-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of substituted 5-aryl-benzothiepines by cyclization of 2-((2-benzyl- and 2-benzoylphenylthio)methyl)alkanals as ileal bile acid transport and taurocholate uptake inhibitors)

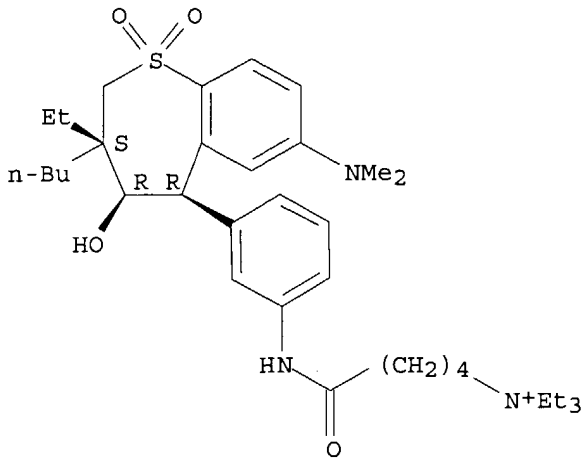
RN 197373-53-8 CAPLUS
CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 647859-06-1 CAPLUS
CN 1-Pentanaminium, 5-[[3-[(3R,4S,5S)-3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:487559 CAPLUS
DN 137:63115
TI Preparation of diphenylazetidinone derivatives as hypolipidemic agents
IN Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PA Aventis Pharma Deutschland GmbH, Germany
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	EE 200300237	A	20030815	EE 2003-237	20011211
	EP 1345932	A1	20030924	EP 2001-271371	20011211
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	NO 2003002733	A	20030814	NO 2003-2733	20030616
PRAI	DE 2000-10064402	A	20001221		
	DE 2001-10154520	A	20011107		
	WO 2001-EP14532	W	20011211		

OS MARPAT 137:63115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

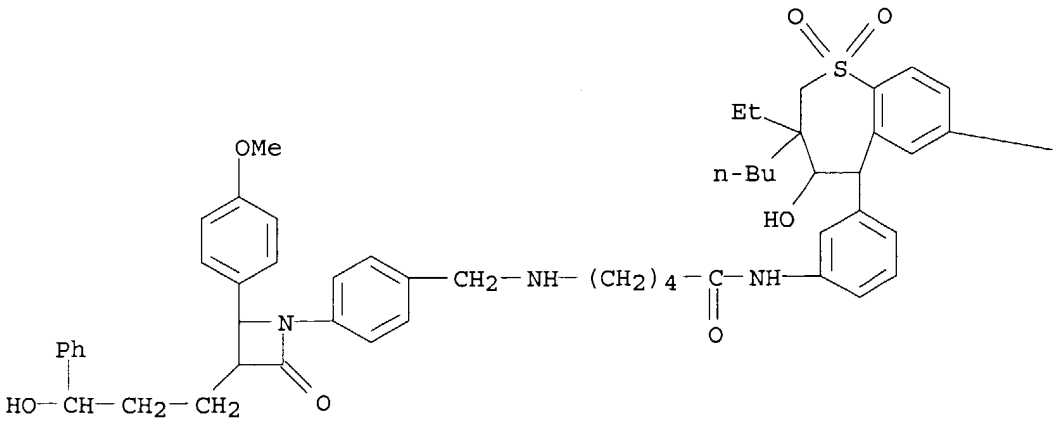
AB The compds. are suited for use e.g. as hypolipidemic drugs. The invention discloses preparation of diphenylazetidinone derivs. such as I [R1, R2, R3, R4, R5, R6 = C0-C30-alkylene-L {optionally containing O, CO, CH:CH, C.tplbond.C, N(alkyl), N(alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, CONH(alkyl), CON(alkyl)2, alkyl, alkenyl, alkynyl, O-alkyl, SO2NH2, SO2NH(alkyl) SO2N(alkyl)2, S-(alkyl), SO(alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(alkyl), SO2(CH2)nPh, NH2, NH(alkyl), N(alkyl)2, NH(acyl), (un)substituted Ph, O(CH2)nPh; n = 0-6; L = II; R7, R9, R10 = Me, Et, Pr, butyl; R8 = H, OH, NH2, NH(alkyl)], and their physiol. acceptable salts, for their use as hypolipidemic agents. Thus, 1,2-diphenylazetidinone derivative III·trifluoroacetate (IV) was prepared via a multistep synthetic sequence starting from 7-[3-(3-butyl-7-dimethylamino-3-ethyl-4-hydroxy-1,1-dioxo-2,3,4,5-tetrahydro-1H-benzo[b]thiepin-5-yl)-phenylcarbamoyl]-heptanoic acid and 4-(4-aminomethylphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxyphenyl]-azetidin-2-one. Azetidinone IV was tested for its cholesterol lowering ability [ED50 = 0.01 mg/mouse].

IT **439113-82-3P 439113-89-0P 439113-91-4P**
439113-92-5P 439113-93-6P 439113-96-9P
439113-98-1P 439114-01-9P 439114-03-1P
439114-06-4P 439114-08-6P 439114-11-1P
439114-16-6P 439114-20-2P 439114-22-4P
439114-23-5P 439114-26-8P 439114-29-1P
439114-39-3P 439114-40-6P 439120-25-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of diphenylazetidinone derivs. as hypolipidemics)

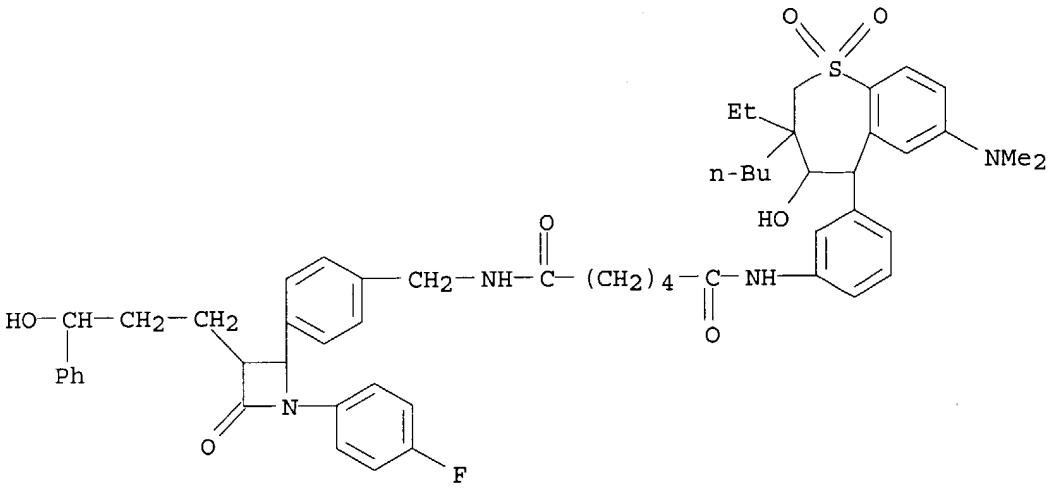
RN 439113-82-3 CAPLUS

CN Pentanamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-5-[[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

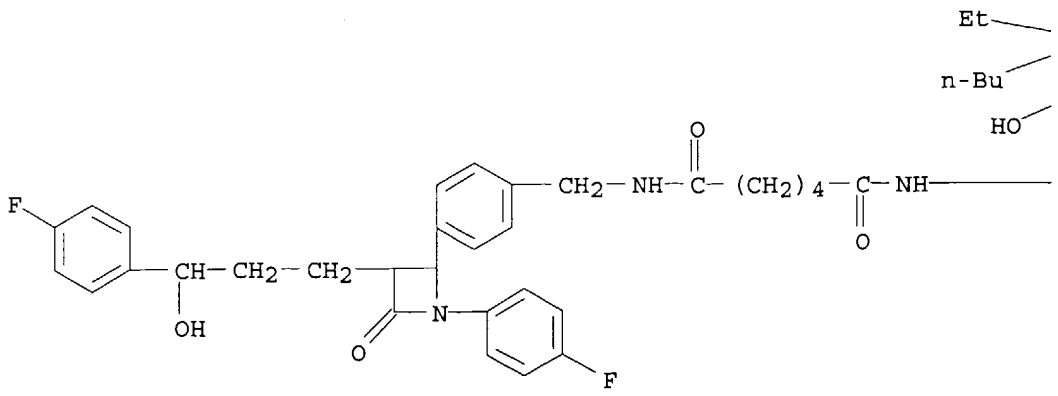
PAGE 1-A

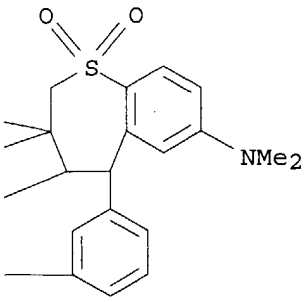


RN 439113-89-0 CAPLUS
CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

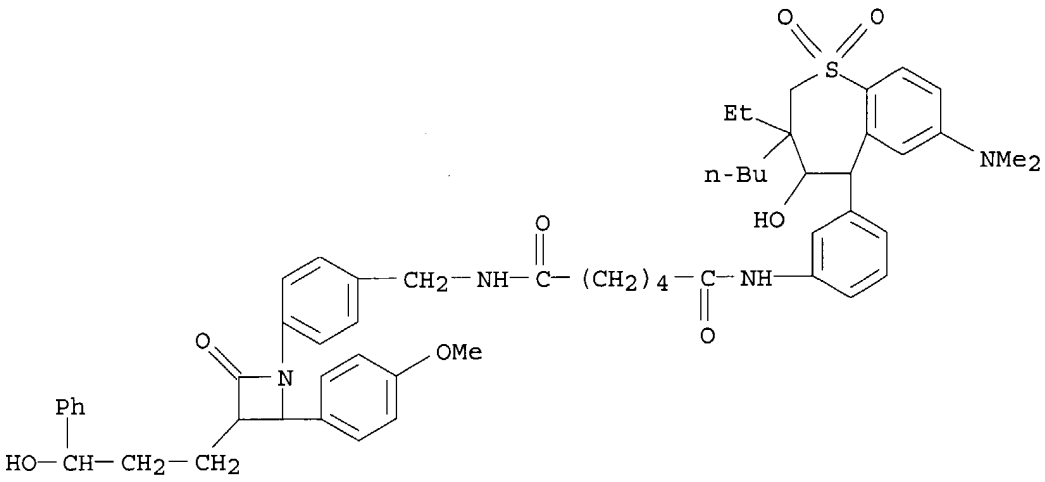


RN 439113-91-4 CAPLUS
CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



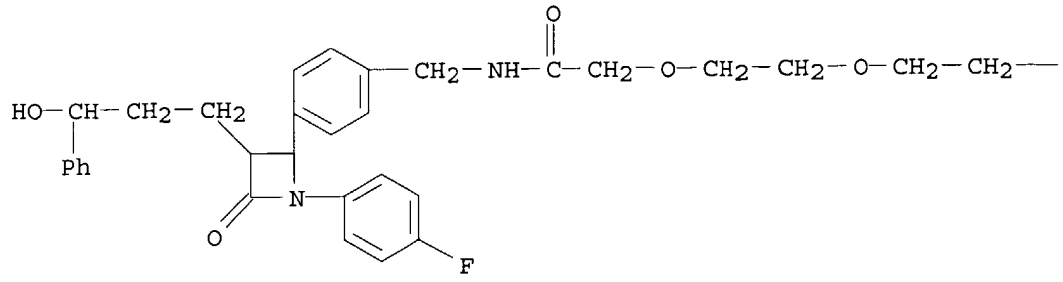


RN 439113-92-5 CAPLUS
CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 439113-93-6 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)-4-oxo-2-azetidinyl]phenyl]-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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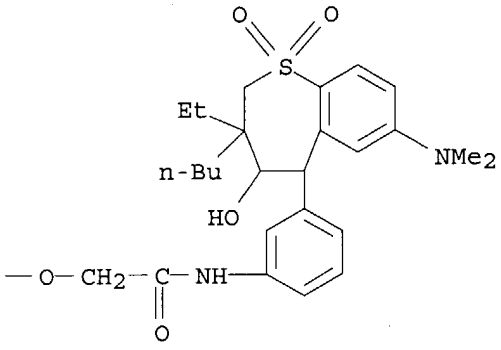
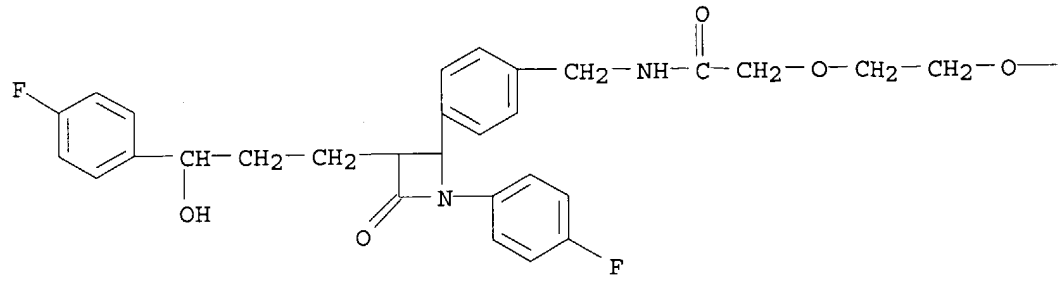


RN 439113-96-9 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 439113-95-8
CMF C55 H64 F2 N4 O9 S

PAGE 1-A



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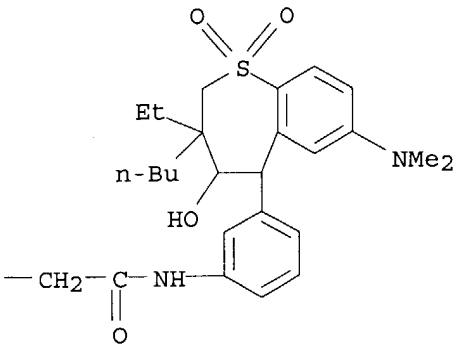
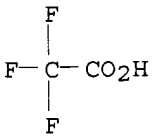


CM 2

CRN 76-05-1
CMF C2 H F3 O2

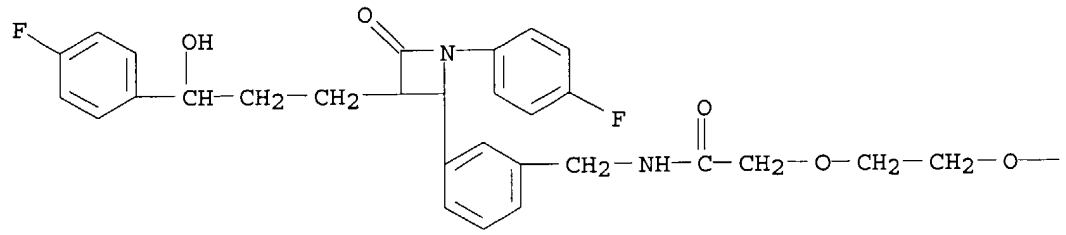


RN 439113-98-1 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

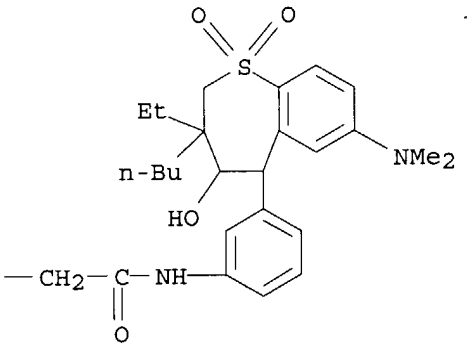
CM 1

CRN 439113-97-0
CMF C55 H64 F2 N4 O9 S

PAGE 1-A

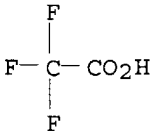


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

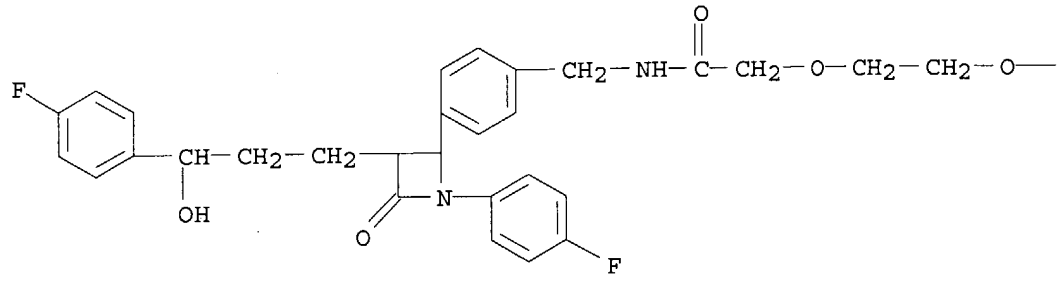


RN 439114-01-9 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 439114-00-8
CMF C57 H68 F2 N4 O10 S

PAGE 1-A



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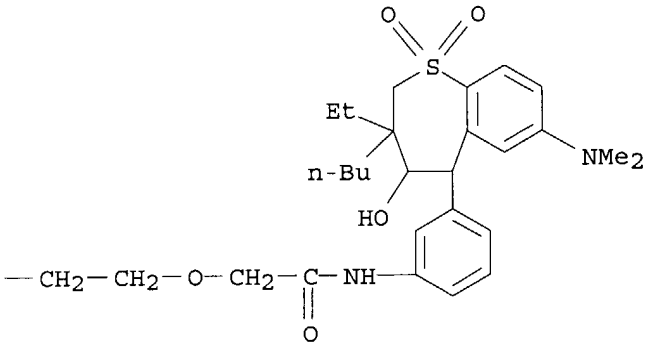
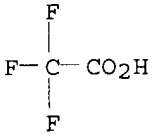


CM 2

CRN 76-05-1
CMF C2 H F3 O2

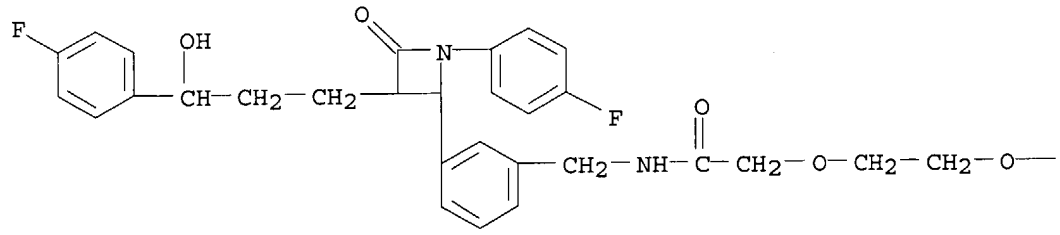


RN 439114-03-1 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 439114-02-0
CMF C57 H68 F2 N4 O10 S

PAGE 1-A



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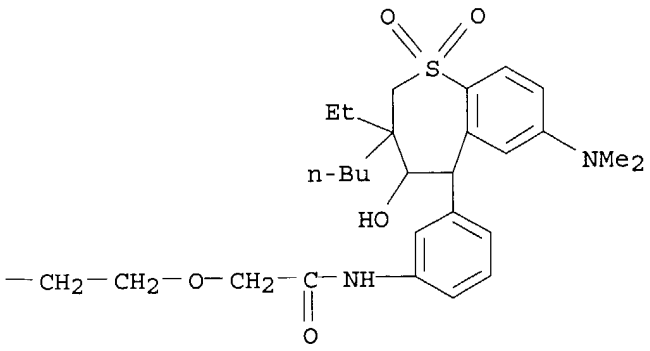
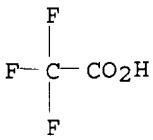


CM 2

CRN 76-05-1
CMF C2 H F3 O2

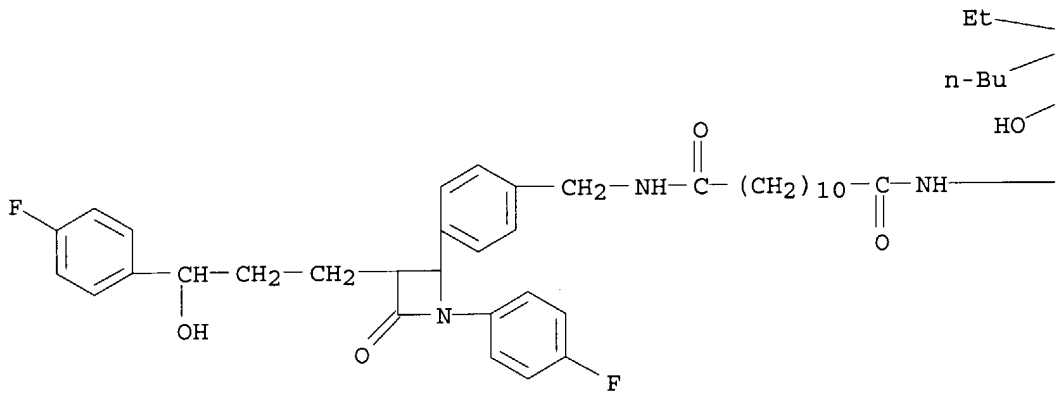


RN 439114-06-4 CAPLUS
CN Dodecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

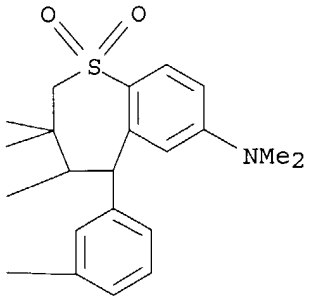
CM 1

CRN 439114-05-3
CMF C61 H76 F2 N4 O7 S

PAGE 1-A

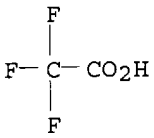


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

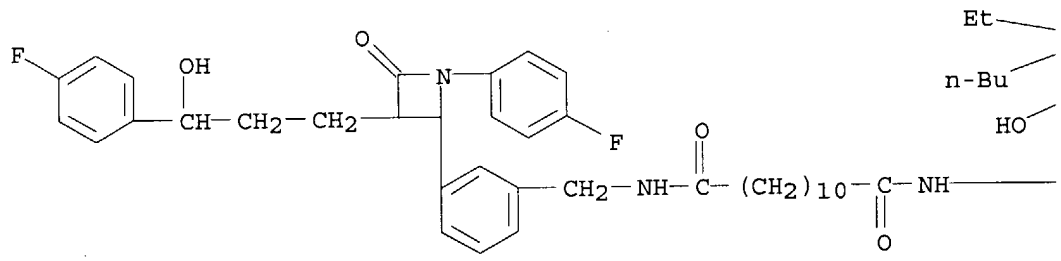


RN 439114-08-6 CAPLUS
CN Dodecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 439114-07-5
CMF C61 H76 F2 N4 O7 S

PAGE 1-A



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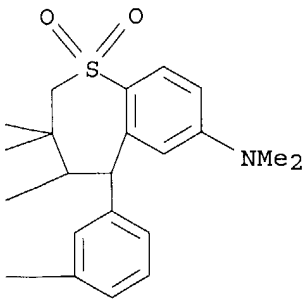
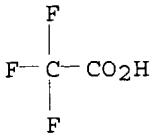


CM 2

CRN 76-05-1
CMF C2 H F3 O2

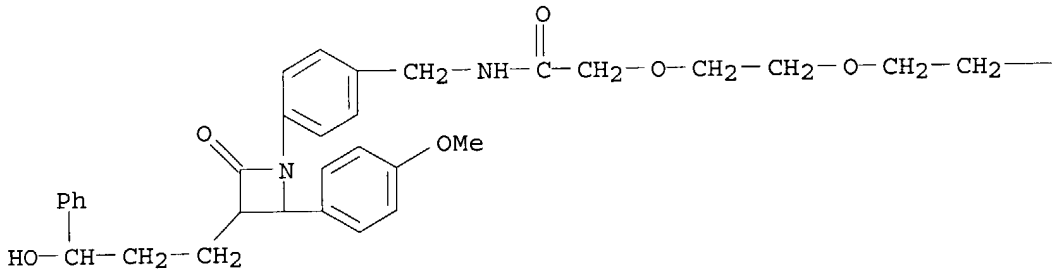


RN 439114-11-1 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

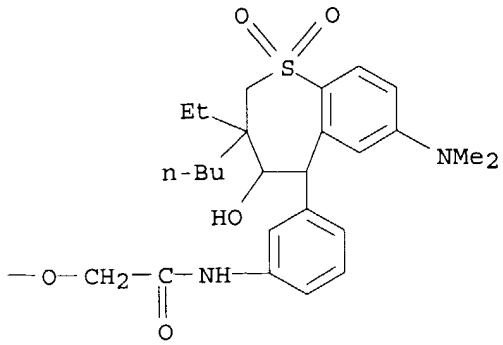
CM 1

CRN 439114-10-0
CMF C58 H72 N4 O11 S

PAGE 1-A

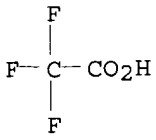


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

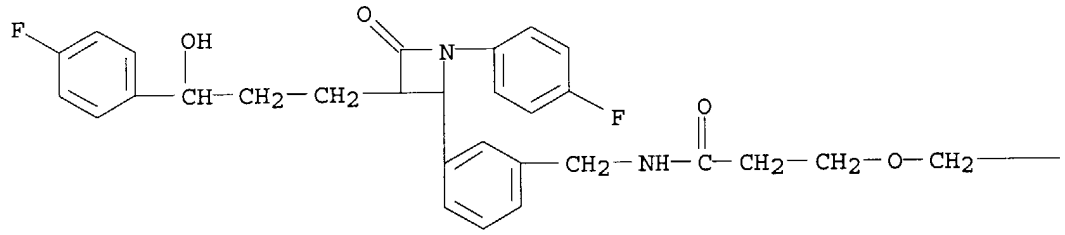


RN 439114-16-6 CAPLUS
CN 4,7,10,13,16-Pentaoxanonadecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

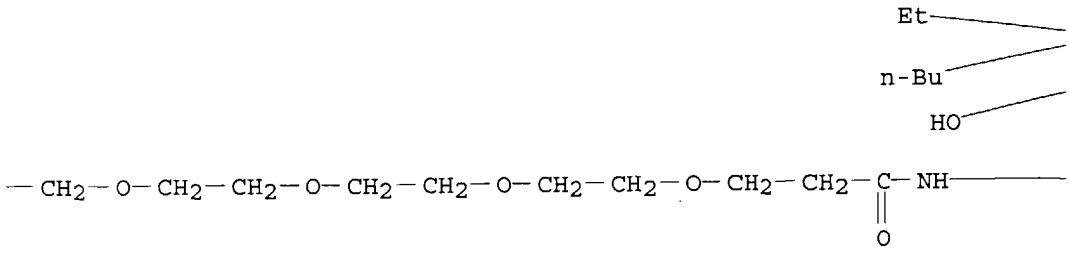
CM 1

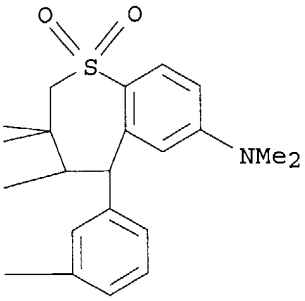
CRN 439114-15-5
CMF C63 H80 F2 N4 O12 S

PAGE 1-A



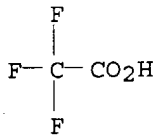
PAGE 1-B





CM 2

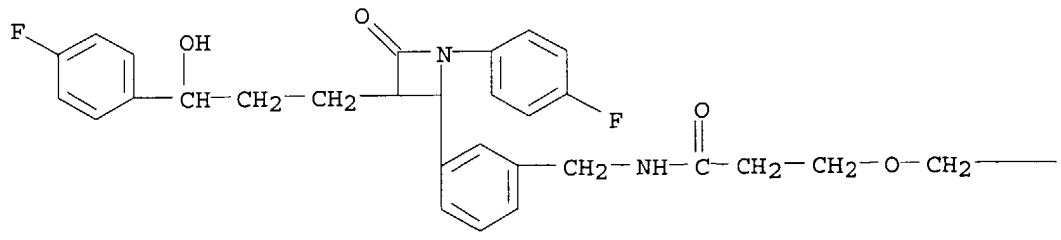
CRN 76-05-1
CMF C2 H F3 O2



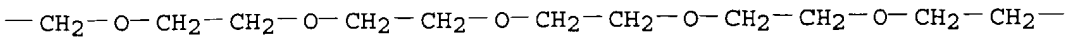
RN 439114-20-2 CAPLUS
CN 4,7,10,13,16,19,22-Heptaoxapentacosanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

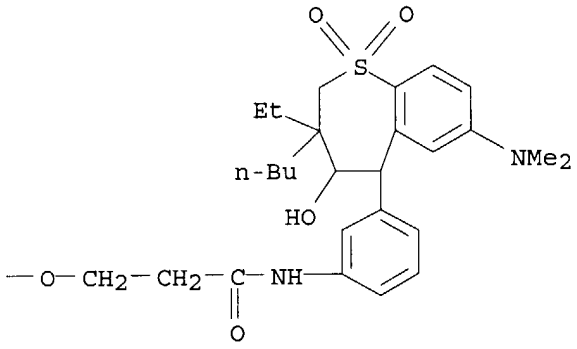
CRN 439114-19-9
CMF C67 H88 F2 N4 O14 S



PAGE 1-B

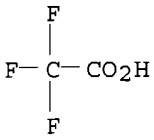


PAGE 1-C



CM 2

CRN 76-05-1
CMF C2 H F3 O2

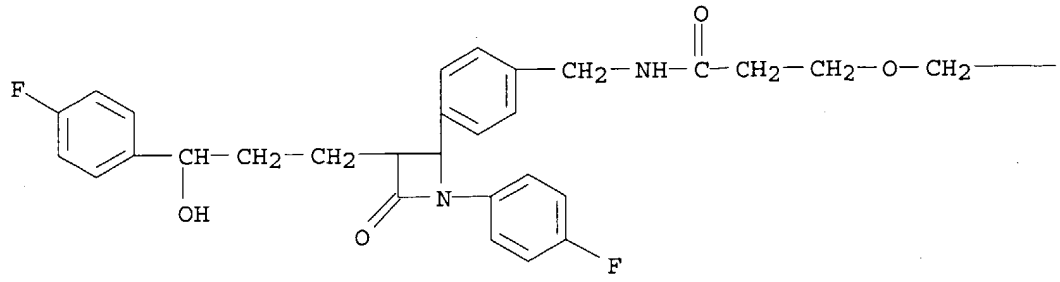


RN 439114-22-4 CAPLUS
CN 4,7,10,13,16-Pentaoxanonadecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

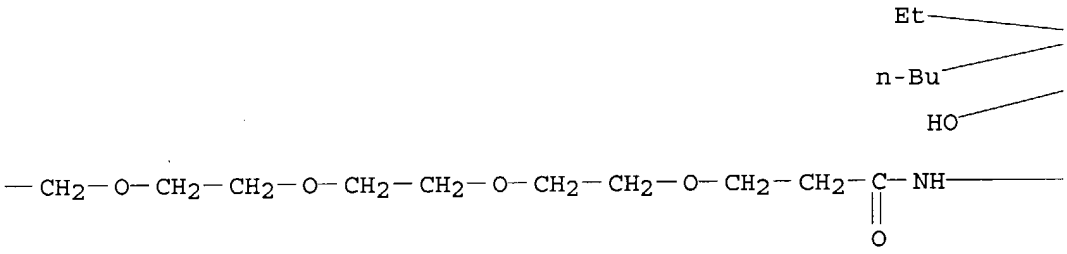
CM 1

CRN 439114-21-3
CMF C63 H80 F2 N4 O12 S

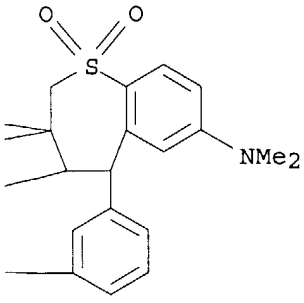
PAGE 1-A



PAGE 1-B

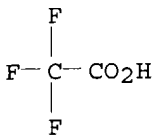


PAGE 1-C

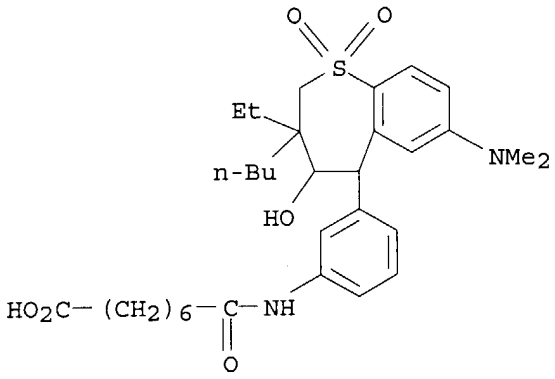


CM 2

CRN 76-05-1
CMF C2 H F3 O2



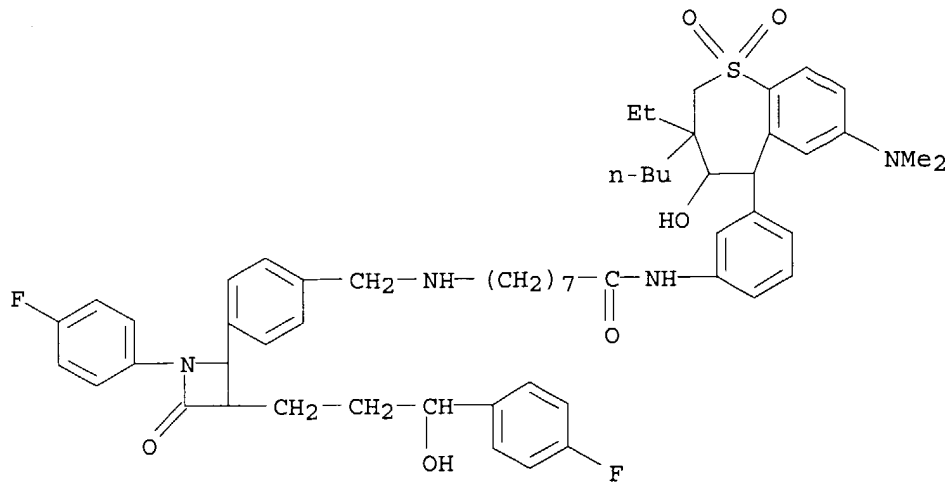
RN 439114-23-5 CAPLUS
CN Octanoic acid, 8-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-8-oxo- (9CI) (CA INDEX NAME)



RN 439114-26-8 CAPLUS
CN Octanamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-8-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

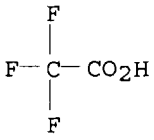
CM 1

CRN 439114-25-7
CMF C57 H70 F2 N4 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

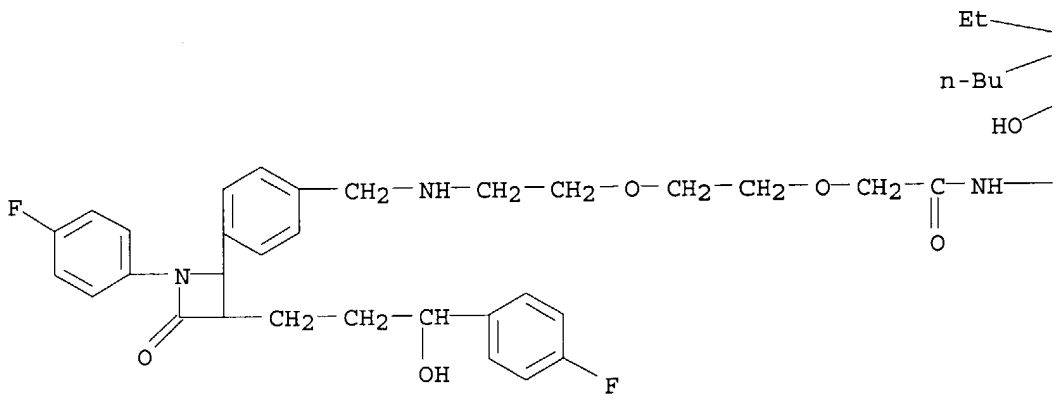


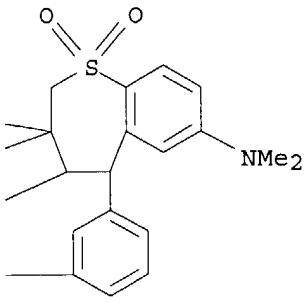
RN 439114-29-1 CAPLUS
CN Acetamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-2-[2-[2-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]ethoxy]ethoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 439114-28-0
CMF C55 H66 F2 N4 O8 S

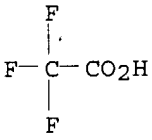
PAGE 1-A



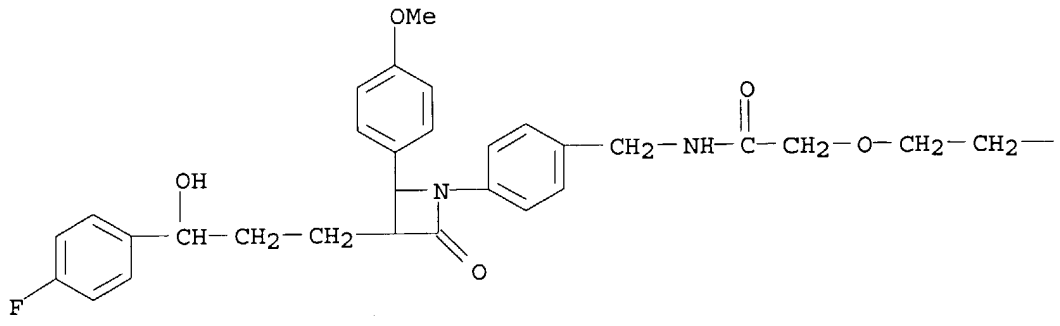


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 439114-39-3 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



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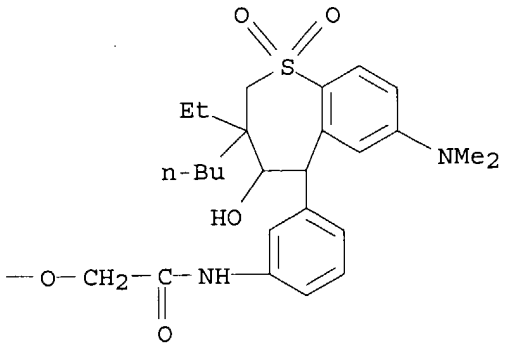
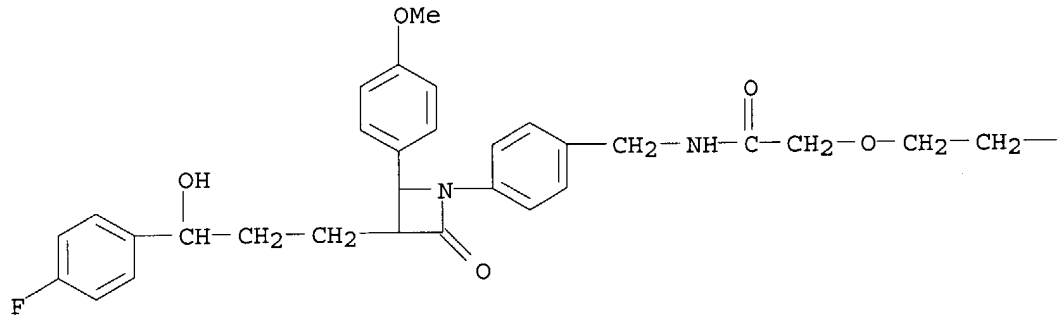


RN 439114-40-6 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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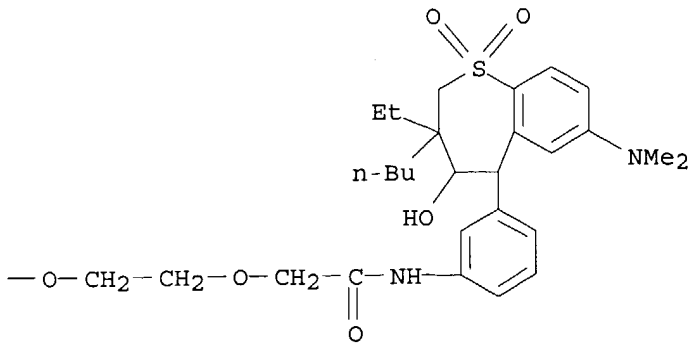
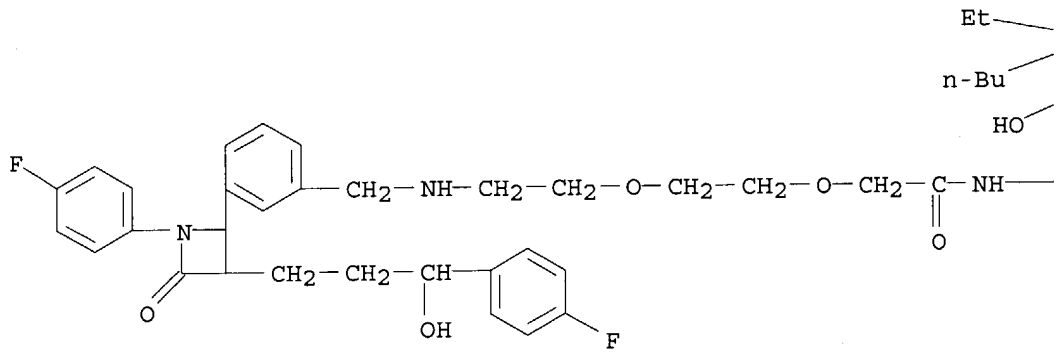


RN 439120-25-9 CAPLUS
CN Acetamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-2-[2-[2-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]ethoxy]ethoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

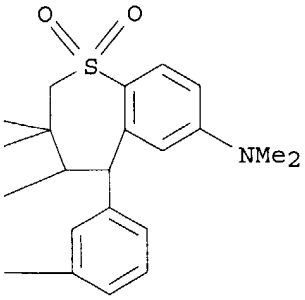
CM 1

CRN 439120-24-8
CMF C55 H66 F2 N4 O8 S

PAGE 1-A

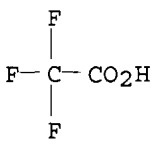


PAGE 1-B



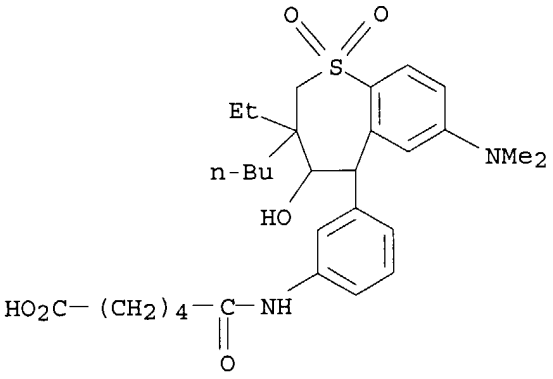
CM 2

CRN 76-05-1
CMF C2 H F3 O2

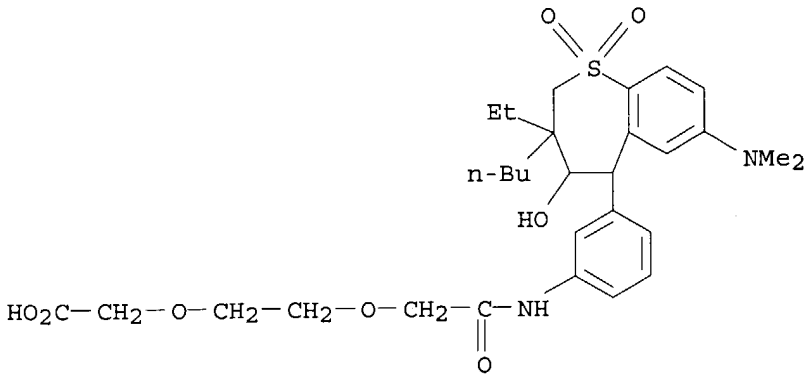


IT **439113-88-9P 439113-94-7P 439113-99-2P**
439114-04-2P 439114-14-4P 439114-18-8P
439114-24-6P 439114-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation); RACT (Reactant or reagent)
(preparation of diphenylazetidinone derivs. as hypolipidemics)

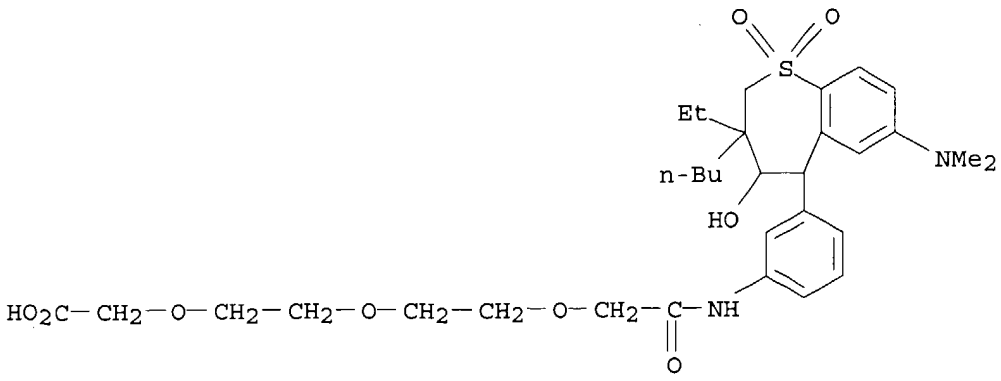
RN 439113-88-9 CAPLUS
CN Hexanoic acid, 6-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-6-oxo- (9CI) (CA INDEX NAME)



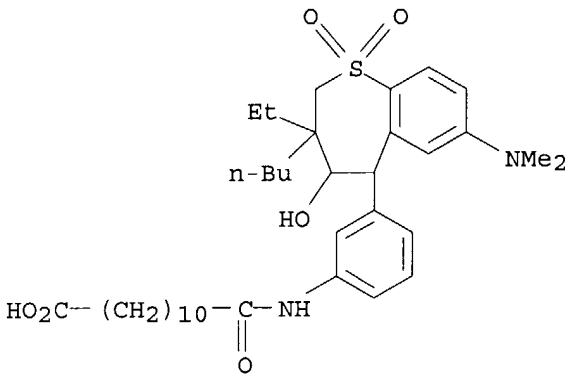
RN 439113-94-7 CAPLUS
CN Acetic acid, [2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]- (9CI) (CA INDEX NAME)



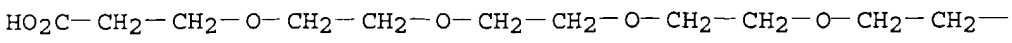
RN 439113-99-2 CAPLUS
CN Acetic acid, [2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]ethoxy]- (9CI) (CA INDEX NAME)



RN 439114-04-2 CAPLUS
CN Dodecanoic acid, 12-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-12-oxo- (9CI) (CA INDEX NAME)



RN 439114-14-4 CAPLUS
CN 4,7,10,13,16-Pentaoxanonadecanoic acid, 19-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-19-oxo- (9CI) (CA INDEX NAME)



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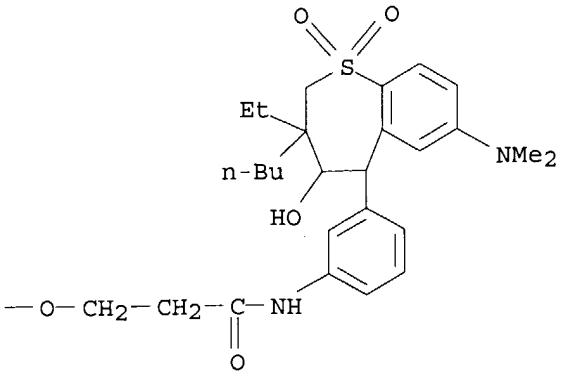
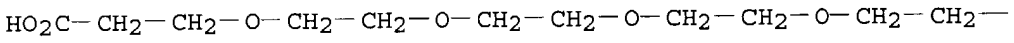
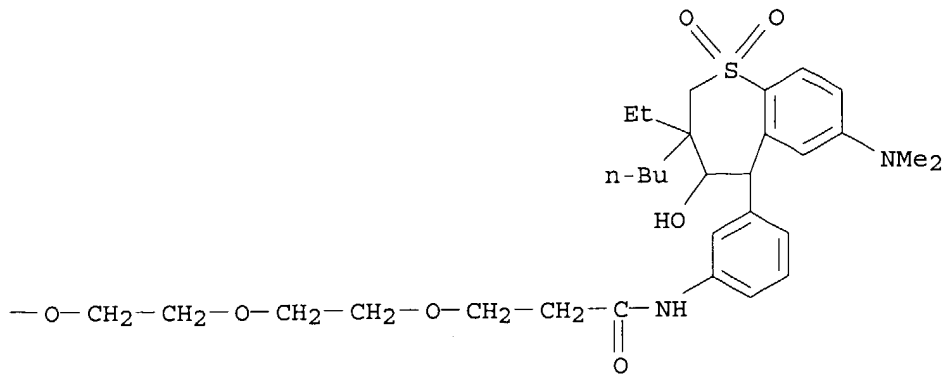


RN 439114-18-8 CAPLUS
CN 4,7,10,13,16,19,22-Heptaoxapentacosanoic acid, 25-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-25-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

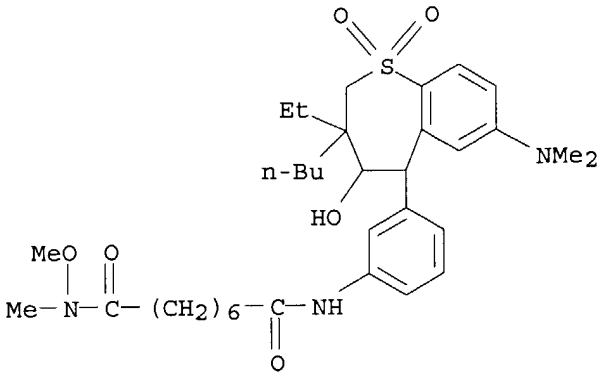


PAGE 1-B

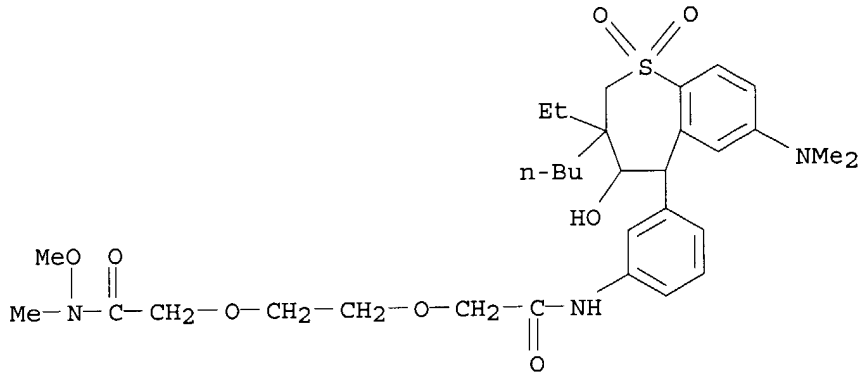


RN 439114-24-6 CAPLUS
CN Octanediamide, N'-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N-methoxy-N-methyl-

(9CI) (CA INDEX NAME)



RN 439114-27-9 CAPLUS
CN 2,6,9-Trioxa-3-azaundecan-11-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-3-methyl-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

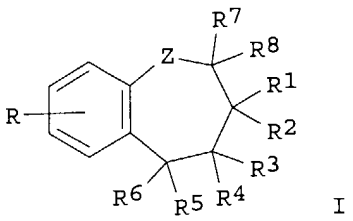
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:560070 CAPLUS
DN 135:137410
TI Preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors.
IN Keller, Bradley T.; Glenn, Kevin C.; Manning, Robert E.
PA G.D. Searle and Co., USA
SO U.S., 356 pp., Cont.-in-part of U.S. Ser. No. 831,284, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6268392	B1	20010731	US 1998-37308	19980309
	EP 1440972	A1	20040728	EP 2004-10088	19970311
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	AU 761249	B2	20030529	AU 2000-53394	20000816
	US 6420417	B1	20020716	US 2000-676466	20000929
	US 2003171426	A1	20030911	US 2002-76091	20020215

	US 6642268	B2	20031104		
	US 2004157915	A1	20040812	US 2003-620460	20030717
PRAI	US 1994-305526	A2	19940912		
	US 1995-517051	A1	19950821		
	US 1996-13119P	P	19960311		
	US 1997-40660P	P	19970311		
	US 1997-816065	A2	19970311		
	US 1997-831284	B2	19970331		
	AU 1997-23266	A3	19970311		
	EP 1997-915976	A3	19970311		
	US 1998-37308	A3	19980309		
	US 2000-676466	A3	20000929		
	US 2002-76091	A1	20020215		

OS MARPAT 135:137410

GI



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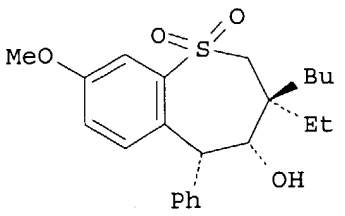


II

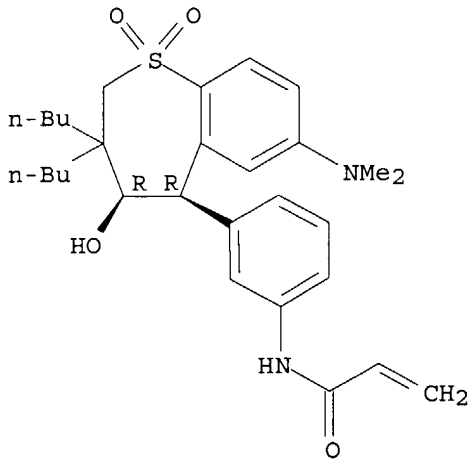
AB Title compds. [I; R = H or 1-4 of alkyl, alkenyl, alkynyl, acyloxy, aryl, aralkyl, halo, etc.; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, alkoxy, dialkylamino, etc.; R1R2C = cycloalkylidene; R3, R4 = H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocyclyl, heteroaryl, etc.; R3R4 = O, S, NOR11, etc.; R11 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; R5, R6 = H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, etc.; R7, R8 = H, alkyl; Z = SO0-2], were prepared A composition comprising an ileal bile acid transport inhibitor and an HMG Co-A reductase inhibitor is claimed. Thus, title compound (II) (preparation via 2-mercapto-4-methoxybenzophenone given) at 0.2% as an ileal perfusion in guinea pigs reduced HDL cholesterol from 89 mg% to 76 mg%.

IT **197374-04-2P 197374-59-7P 197384-36-4P**
213312-84-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors)

RN 197374-04-2 CAPLUS

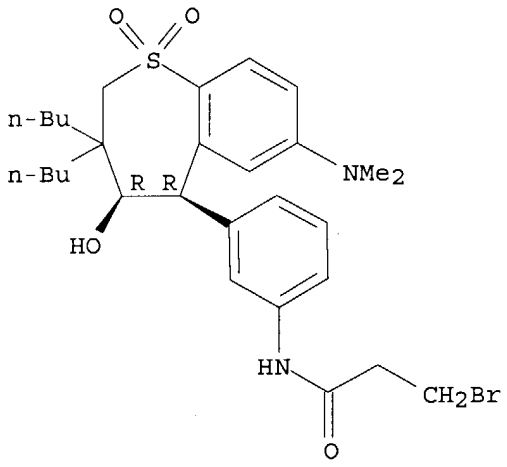
CN 2-Propenamide, N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197374-59-7 CAPLUS
CN Propanamide, 3-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

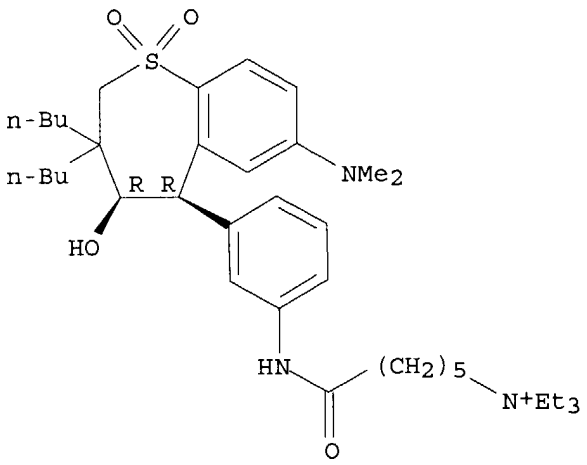


RN 197384-36-4 CAPLUS
CN 1-Hexanaminium, 6-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-6-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

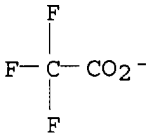
CRN 197384-35-3
CMF C38 H62 N3 O4 S

Relative stereochemistry.



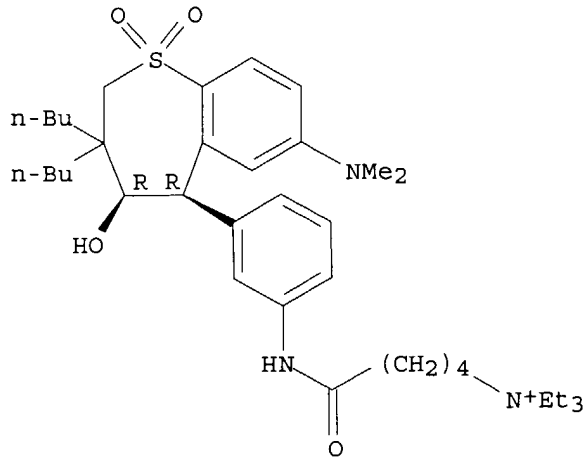
CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 213312-84-6 CAPLUS
CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, iodide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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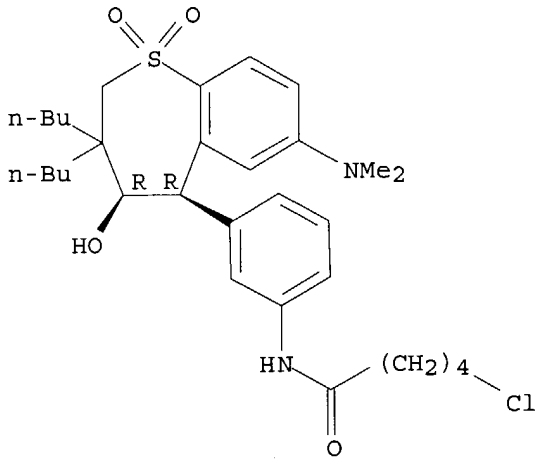
IT **213312-74-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors)

RN 213312-74-4 CAPLUS

CN Pentanamide, 5-chloro-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:590035 CAPLUS

DN 133:193089

TI Preparation of substituted 5-aryl-benzothiepines as ileal bile acid transport and taurocholate uptake inhibitors

IN Lee, Len F.; Banerjee, Shyamal C.; Huang, Horng-chih; Li, Jinglin J.; Miller, Raymond E.; Reitz, David B.; Tremont, Samuel J.

PA G.D. Searle and Co., USA

SO U.S., 191 pp., Cont.-in-part of U. S. Ser. No. 109,551.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6107494	A	20000822	US 1999-275463	19990324
	EP 1440972	A1	20040728	EP 2004-10088	19970311
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	US 5994391	A	19991130	US 1998-109551	19980702
	EP 1331225	A1	20030730	EP 2003-5459	19981216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CA 2336315	AA	20000113	CA 1999-2336315	19990629
	WO 2000001687	A1	20000113	WO 1999-US12828	19990629
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU,				

TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	AU 9948202	A1	20000124	AU 1999-48202	19990629
	AU 766957	B2	20031030		
	EP 1091953	A1	20010418	EP 1999-931769	19990629
	EP 1091953	B1	20031210		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

	TR 200100824	T2	20010723	TR 2001-200100824	19990629
	BR 9911737	A	20011211	BR 1999-11737	19990629
	EE 200100002	A	20020617	EE 2001-2	19990629
	JP 2002519418	T2	20020702	JP 2000-558091	19990629
	NZ 509621	A	20030829	NZ 1999-509621	19990629
	AT 256122	E	20031215	AT 1999-931769	19990629
	US 6262277	B1	20010717	US 1999-443403	19991119
	AU 761249	B2	20030529	AU 2000-53394	20000816
	NO 2001000016	A	20010302	NO 2001-16	20010102
	ZA 2001000028	A	20010725	ZA 2001-28	20010102
	HR 2001000004	A1	20011231	HR 2001-4	20010102
	BG 105206	A	20010928	BG 2001-105206	20010131
	US 2002013476	A1	20020131	US 2001-828968	20010409
	US 6387924	B2	20020514		
	US 2002188119	A1	20021212	US 2002-72600	20020211
	US 2003171426	A1	20030911	US 2002-76091	20020215
	US 6642268	B2	20031104		
	JP 2004203891	A2	20040722	JP 2004-50473	20040225
PRAI	US 1994-305526	B2	19940913		
	US 1995-517051	B1	19950821		
	US 1996-13119P	P	19960311		
	US 1997-816065	B2	19970311		
	US 1997-831284	B2	19970331		
	US 1997-68170P	P	19971219		
	US 1998-109551	A2	19980702		
	AU 1997-23266	A3	19970311		
	EP 1997-915976	A3	19970311		
	US 1997-40660P	P	19970311		
	EP 1998-962044	A3	19981216		
	US 1999-275463	A1	19990324		
	JP 2000-558091	A3	19990629		
	WO 1999-US12828	W	19990629		
	US 1999-443403	A1	19991119		
	US 2000-676466	A3	20000929		
	US 2000-581897	A3	20001002		

OS MARPAT 133:193089

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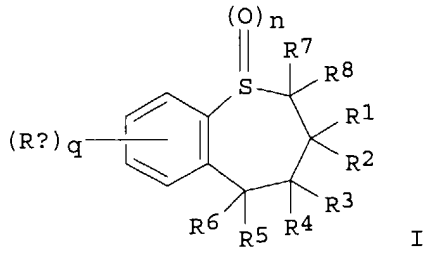

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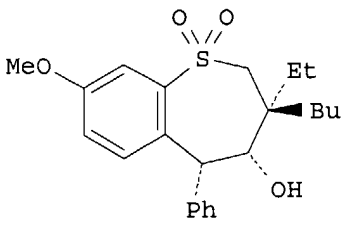

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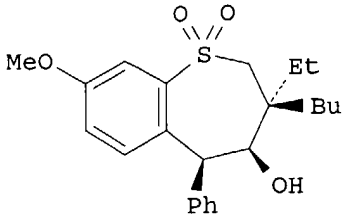


III

AB The title compds. (I) [wherein q = 1-4; n = 2; R1 and R2 = independently H or (un)substituted (halo)alkyl, alkenyl, alkynyl, alkylaryl, arylalkyl, alkoxy(alkyl), dialkylamino, alkylthio, (polyalkyl)aryl, or cycloalkyl; or R1 and R2 taken together with the atoms to which they are attached = cycloalkyl; R3 and R4 = independently H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocyclyl, OR9, NR9R10, SR9, S(O)R9, SO2R9, or SO3R9; R9 and R10 = independently H, (cyclo)alkyl, alkenyl, alkynyl, aryl(alkyl), acyl, heterocyclyl, or ammoniumalkyl; or R3 and R4 together = :O, :NOR11, :S, :NNR11R12, :NR9, or :CR11R12; R11 and R12 = independently H, (cyclo)alkyl, alkenyl, alkynyl, aryl(alkyl), heterocyclyl, carboxylalkyl, carboalkoxyalkyl, cyanoalkyl, OR9, NR9R10, SR9, S(O)R9, SO2R9, SO3R9, CO2R9, CN, halo, oxo, or CONR9R10; R5 = substituted aryl; R6 = H; R7 and R8 = independently H or alkyl; Rx = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl(alkyl), halo(alkyl), (quaternary) heterocyclyl, (quaternary) heteroaryl, polyether, alkoxy, amino, alkylthio, NO2, carboxy, carbamido, etc.] where prepared for the prophylaxis and treatment of hyperlipidemic conditions, such as those associated with atherosclerosis or hypercholesterolemia. Thus, KOBu-t was added to a solution of 2-((2-benzyl-5-methoxyphenylsulfonyl)methyl)-2-ethylhexanal (preparation given) and dry THF cooled to -1.6°C to give, after workup, II and III (96% combined yield). The isomers were separated upon recrystn. II inhibited IBAT-mediated uptake of [14C]-taurocholate in H14 cells with an IC50 of 0.1 μM and reduced serum cholesterol from 143 mg (7%) to 126 mg (2%) compared to control in cholesterol-fed hamsters in a 14-day test. In vitro taurocholate uptake assay data are included for nearly 600 compds. of the invention.

IT **197373-54-9P 197374-04-2P 197374-59-7P 197384-36-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(hypolipemic agent; preparation of substituted 5-aryl-benzothiepines by cyclization of 2-((2-benzyl- and 2-benzoylphenylthio)methyl)alkanals as ileal bile acid transport and taurocholate uptake inhibitors)

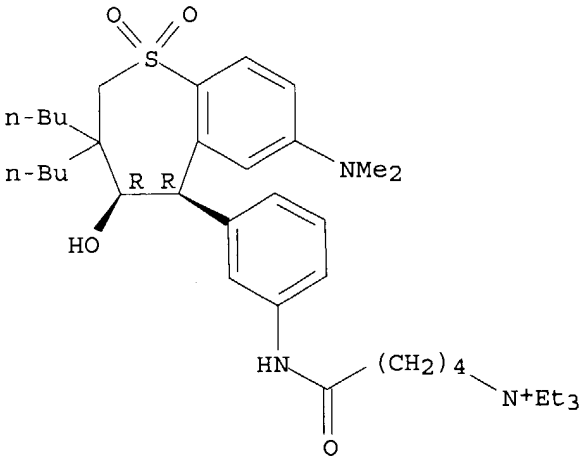
RN 197373-54-9 CAPLUS

CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

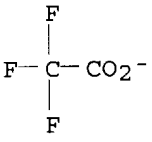
CRN 197373-53-8
CMF C37 H60 N3 O4 S

Relative stereochemistry.



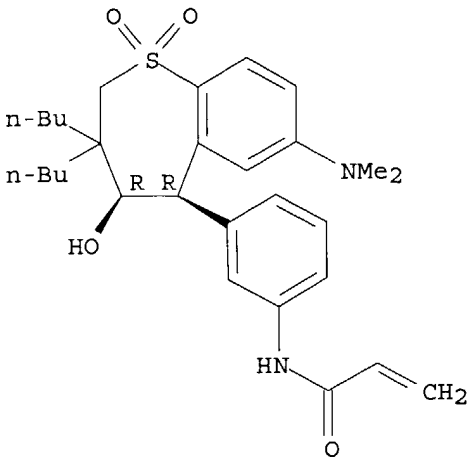
CM 2

CRN 14477-72-6
CMF C2 F3 O2



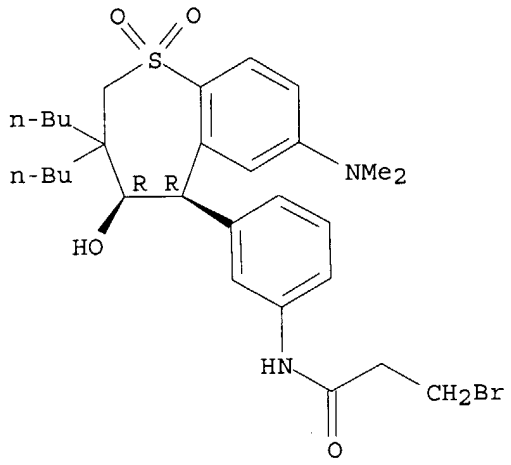
RN 197374-04-2 CAPLUS
CN 2-Propenamide, N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197374-59-7 CAPLUS
CN Propanamide, 3-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

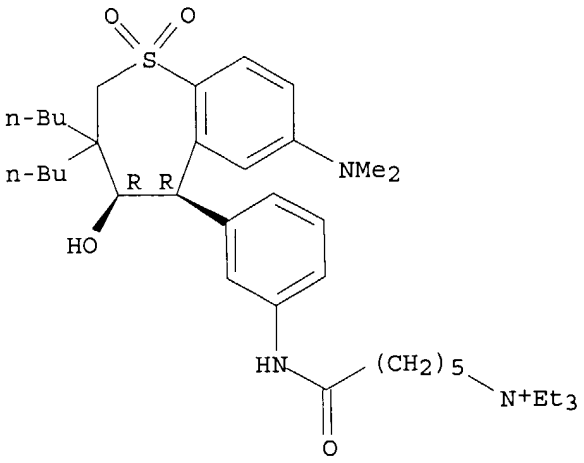


RN 197384-36-4 CAPLUS
CN 1-Hexanaminium, 6-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-6-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

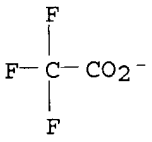
CRN 197384-35-3
CMF C38 H62 N3 O4 S

Relative stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:795802 CAPLUS
DN 132:22884
TI Preparation of benzothiepine-1,1-dioxides as hypolipemics
IN Frick, Wendelin; Enhsen, Alfons; Glombik, Heiner; Heuer, Hubert
PA Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 9

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9964409	A2	19991216	WO 1999-EP3743	19990529
WO 9964409	A3	20000302		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19825804	A1	19991216	DE 1998-19825804	19980610
DE 19825804	C2	20000824		

	TR 200003634	T2	20010621	TR 2000-200003634	19990528
	ES 2182535	T3	20030301	ES 1999-927784	19990528
	PT 1086092	T	20030331	PT 1999-927784	19990528
	CA 2334773	AA	19991216	CA 1999-2334773	19990529
	AU 9945031	A1	19991230	AU 1999-45031	19990529
	AU 752633	B2	20020926		
	EP 1086113	A2	20010328	EP 1999-927802	19990529
	EP 1086113	B1	20040211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	TR 200003632	T2	20010420	TR 2000-200003632	19990529
	JP 2002517490	T2	20020618	JP 2000-553418	19990529
	JP 3374129	B2	20030204		
	NZ 508681	A	20020628	NZ 1999-508681	19990529
	RU 2220141	C2	20031227	RU 2001-101499	19990529
	AT 259372	E	20040215	AT 1999-927802	19990529
	US 6221897	B1	20010424	US 1999-398315	19990920
	AU 761249	B2	20030529	AU 2000-53394	20000816
	ZA 2000007060	A	20010718	ZA 2000-7060	20001130
	ZA 2000007061	A	20010718	ZA 2000-7061	20001130
	NO 2000006251	A	20010207	NO 2000-6251	20001208
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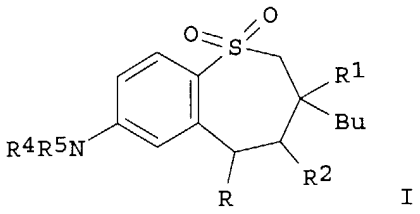
	US 2003017996	A1	20030123	US 2002-201050	20020724
	US 6642269	B2	20031104		
	US 2004087648	A1	20040506	US 2003-606771	20030627
PRAI	DE 1998-19825804	A	19980610		
	US 1996-13119P	P	19960311		
	AU 1997-23266	A3	19970311		
	WO 1999-EP3743	W	19990529		
	US 1999-398315	A1	19990920		
	US 2001-773772	A1	20010202		
	US 2002-201050	A1	20020724		

OS MARPAT 132:22884

GI



I

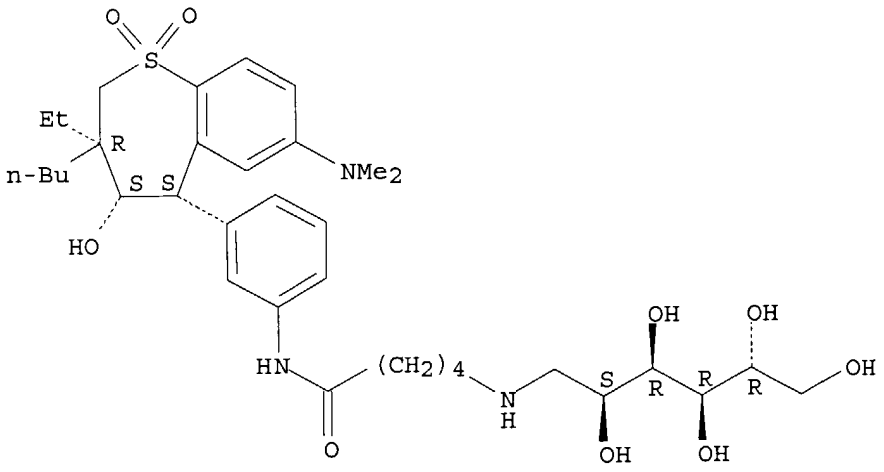
AB Title compds. [I; R = C6H4NHZR3; R1,R4,R5 = Me, Et, Pr, Bu; R2 = H, OH, amino(alkyl); R3 = sugar residue; Z = bond, carbonyl(alkylene), CONH, etc.] were prepared Thus, I [R = C6H4(NHR')-3, R1 = Et, R2 = OH, R4 = R5 = Me](II; R' = H) was amidated by penta-O-acetyl-D-gluconic acid and the product deprotected to give II (R' = gluconoyl) as a mixture of diastereomers. Data for biol. activity of I were given.

IT **252047-36-2P 252047-37-3P 252047-38-4P**
252047-39-5P 252047-40-8P 252047-41-9P
252208-66-5P 252208-67-6P 252208-68-7P
252208-69-8P 252208-70-1P 252208-71-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of benzothiepine-1,1-dioxides as hypolipemics)

RN 252047-36-2 CAPLUS

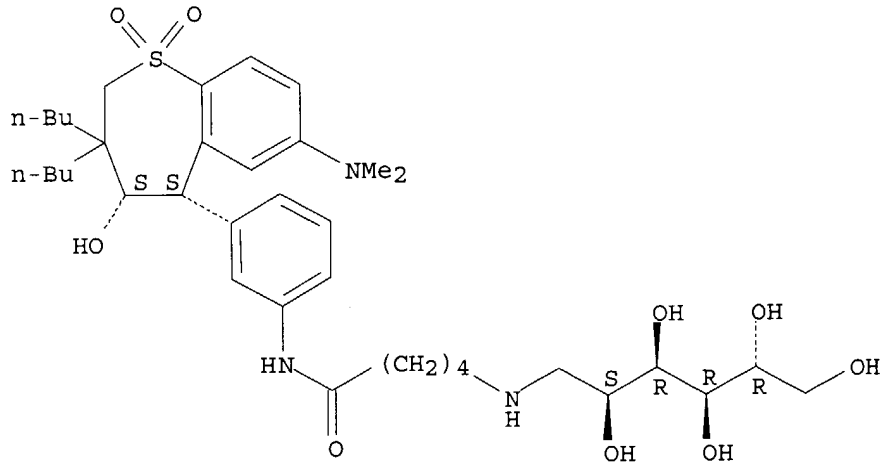
CN D-Glucitol, 1-[[5-[[3-[(3R,4S,5S)-3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]amino]-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



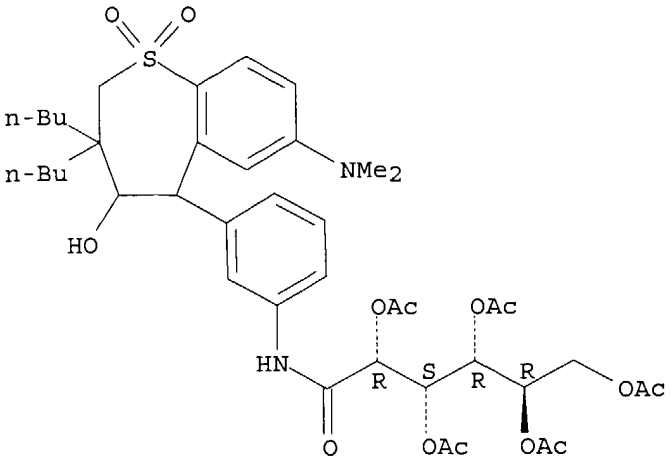
RN 252047-37-3 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[5-[[3-[(4S,5S)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



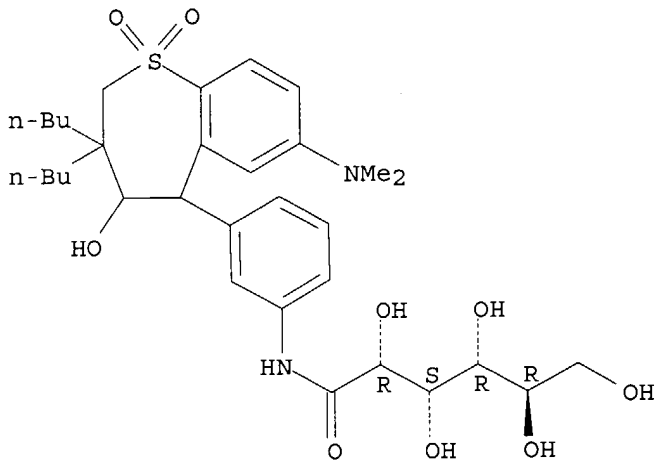
RN 252047-38-4 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



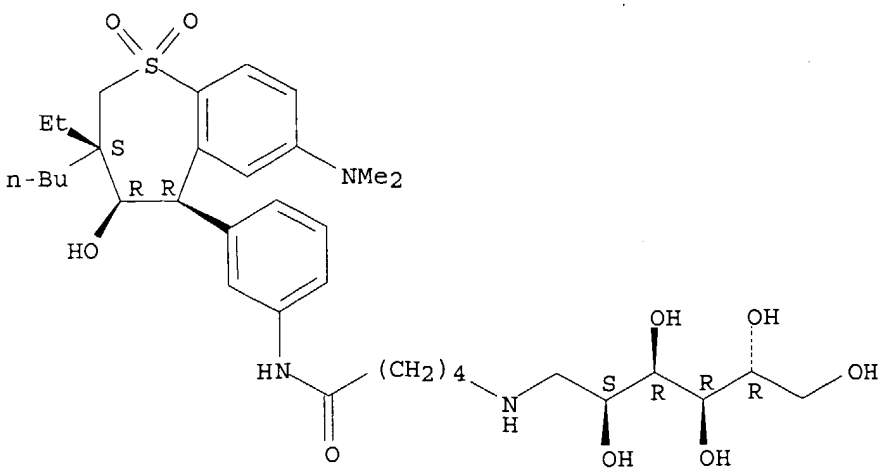
RN 252047-39-5 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



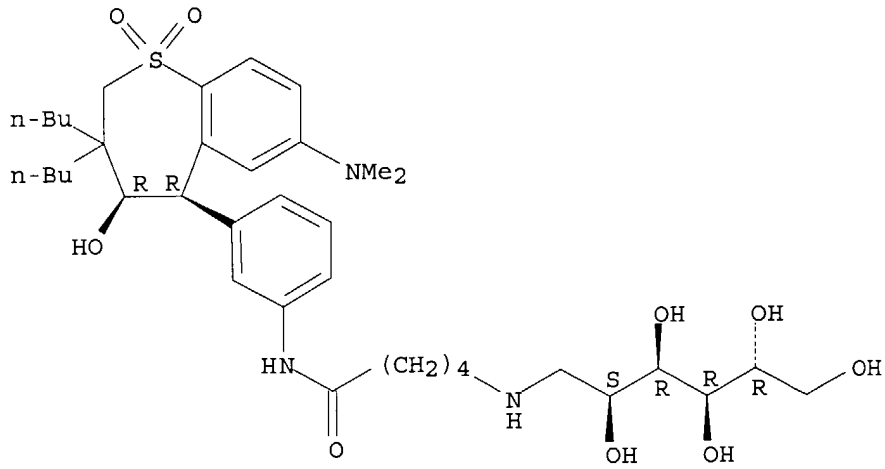
RN 252047-40-8 CAPLUS
CN D-Glucitol, 1-[[5-[[3-[(3S,4R,5R)-3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]amino]-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



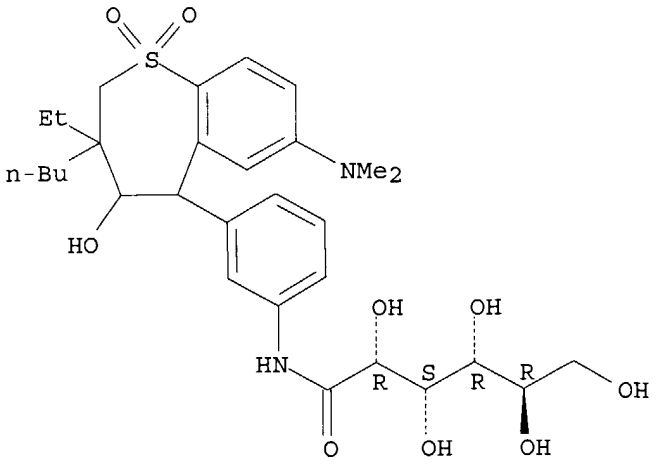
RN 252047-41-9 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



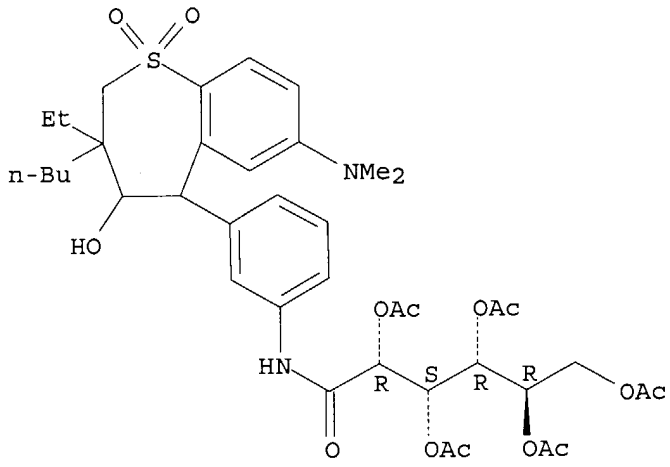
RN 252208-66-5 CAPLUS
CN D-Gluconamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



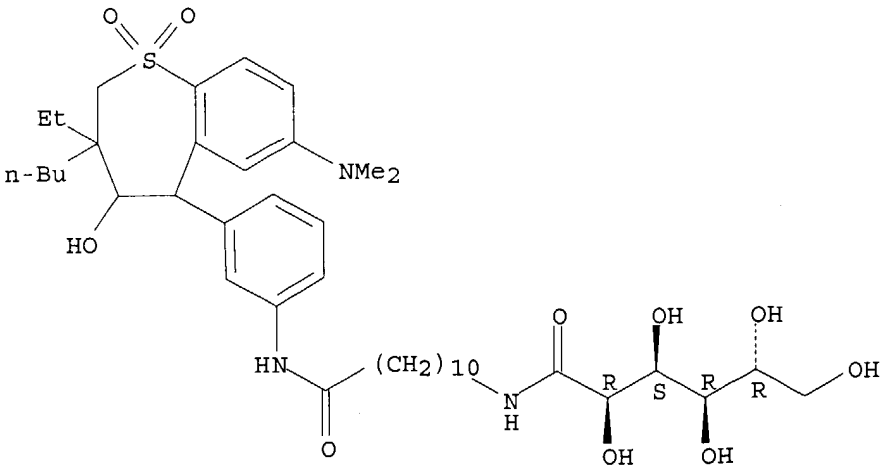
RN 252208-67-6 CAPLUS
CN D-Gluconamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



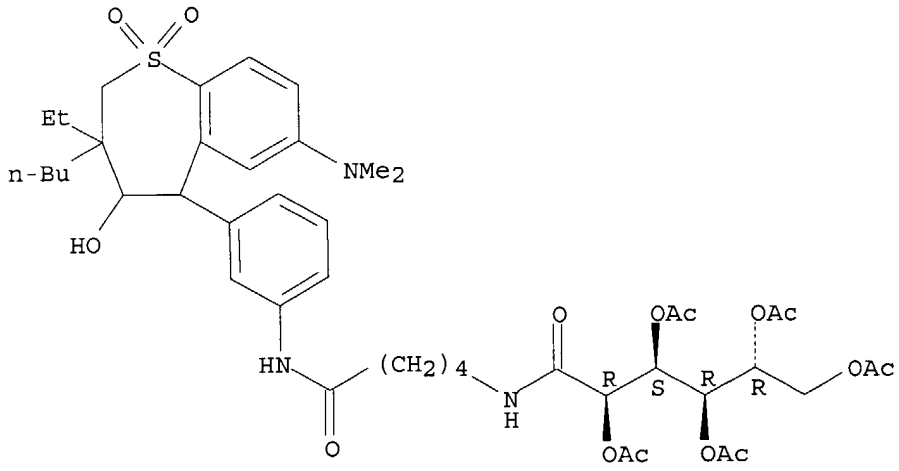
RN 252208-68-7 CAPLUS
CN D-Gluconamide, N-[11-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-11-oxoundecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



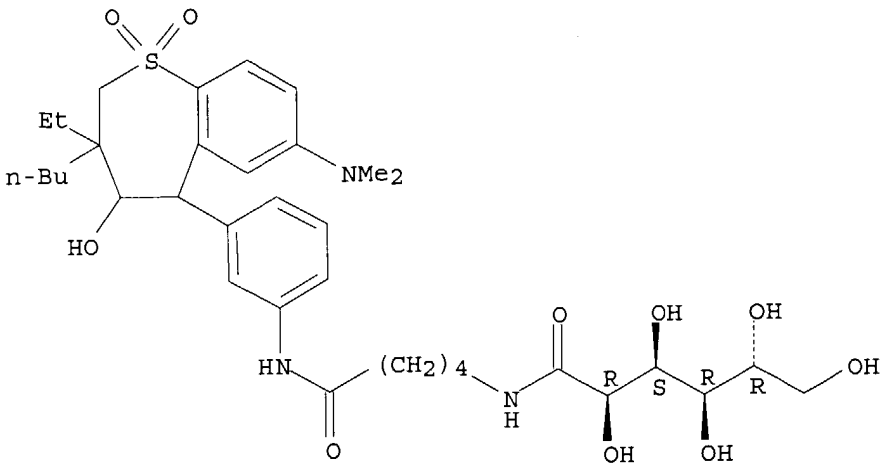
RN 252208-69-8 CAPLUS
CN D-Gluconamide, N-[5-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



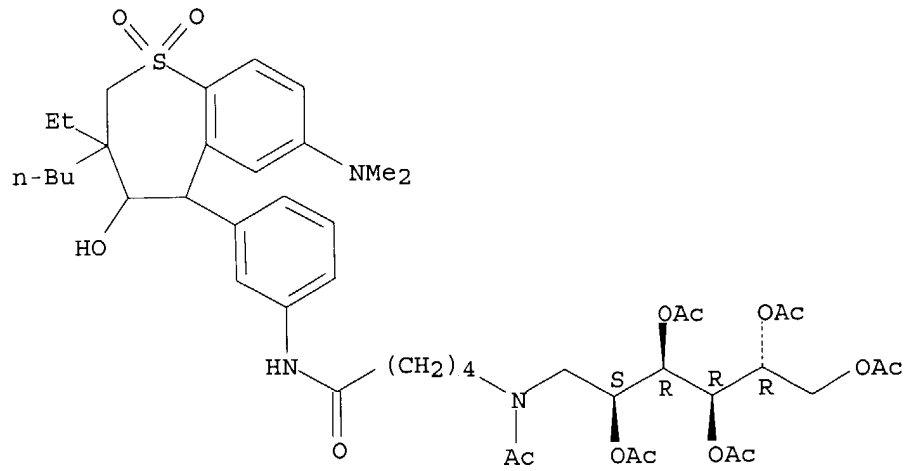
RN 252208-70-1 CAPLUS
CN D-Gluconamide, N-[5-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252208-71-2 CAPLUS
CN D-Glucitol, 1-[acetyl[5-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-5-oxopentyl]amino]-1-deoxy-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:621210 CAPLUS
DN 129:260353
TI Preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors.
IN Reitz, David B.; Lee, Len F.; Li, Jinglin J.; Huang, Horng-Chih; Tremont, Samuel J.; Miller, Raymond E.; Baneriee, Shyamal C.; Manning, Robert E.; Glenn, Kevin C.; Keller, Bradley T.
PA G.D. Searle and Co., USA; et al.
SO PCT Int. Appl., 477 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 9

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9840375	A2	19980917	WO 1998-US3792	19980310
	WO 9840375	A3	19981203		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9864408	A1	19980929	AU 1998-64408	19980310
	AU 730024	B2	20010222		
	EP 971744	A2	20000119	EP 1998-910075	19980310
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	BR 9808013	A	20010925	BR 1998-8013	19980310
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	NO 9904390	A	19991104	NO 1999-4390	19990910
	AU 761249	B2	20030529	AU 2000-53394	20000816
	US 2003171426	A1	20030911	US 2002-76091	20020215
	US 6642268	B2	20031104		
PRAI	US 1997-40660P	P	19970311		
	US 1994-305526	B2	19940913		
	US 1995-517051	B1	19950821		
	US 1996-13119P	P	19960311		
	AU 1997-23266	A3	19970311		
	US 1997-816065	B2	19970311		
	US 1997-831284	B3	19970331		
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	US 2000-676466	A3	20000929		
OS	MARPAT 129:260353				

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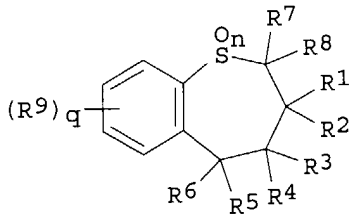

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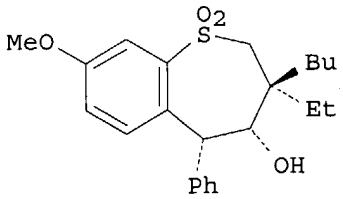


II

AB Title compds. [I; q = 1-4; n = 0-2; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, alkoxy, dialkylamino, etc.; R1R2C = cycloalkylidene; R3, R4 = H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocyclyl, heteroaryl, etc.; R3R4 = O, S, NOR11, etc.; R11 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; R5, R6 = H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, alkenyl, alkynyl, acyloxy, aryl, aralkyl, halo, etc.], were prepared A composition comprising an ileal bile acid transport inhibitor and an HMG Co-A reductase inhibitor is claimed. Thus, title compound (II) (preparation via 2-mercapto-4-methoxybenzophenone given) at 0.2% as an ileal perfusion in guinea pigs reduced HDL cholesterol from 89 mg% to 76 mg%.

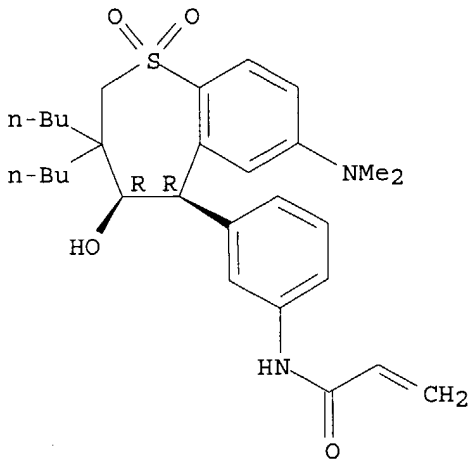
IT **197374-04-2P 197374-59-7P 197384-36-4P**
213312-84-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors)

RN 197374-04-2 CAPLUS

CN 2-Propenamide, N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

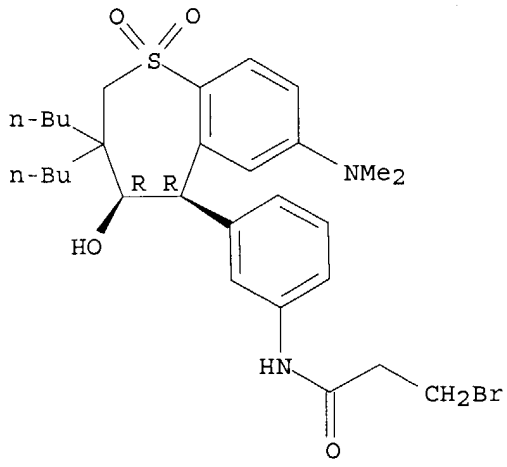
Relative stereochemistry.



RN 197374-59-7 CAPLUS

CN Propanamide, 3-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



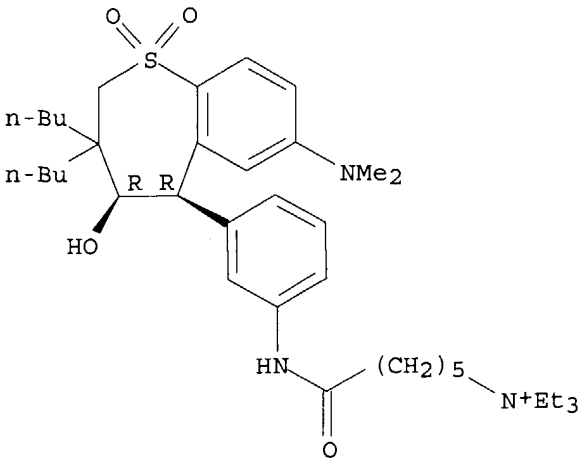
RN 197384-36-4 CAPLUS

CN 1-Hexanaminium, 6-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-6-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

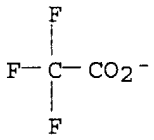
CRN 197384-35-3
CMF C38 H62 N3 O4 S

Relative stereochemistry.



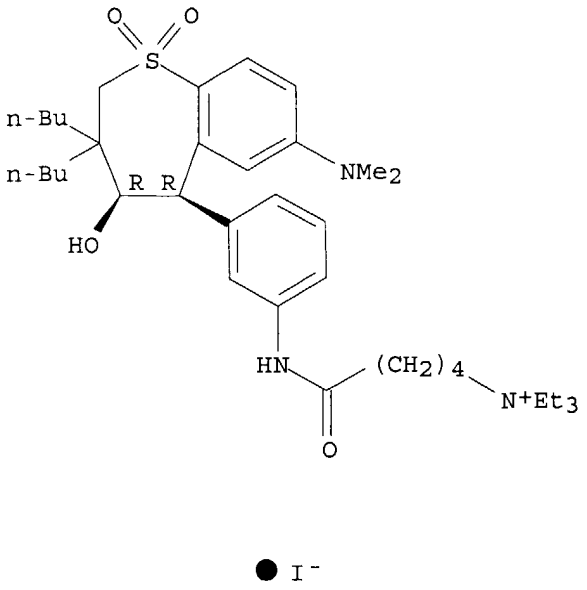
CM 2

CRN 14477-72-6
CMF C2 F3 O2



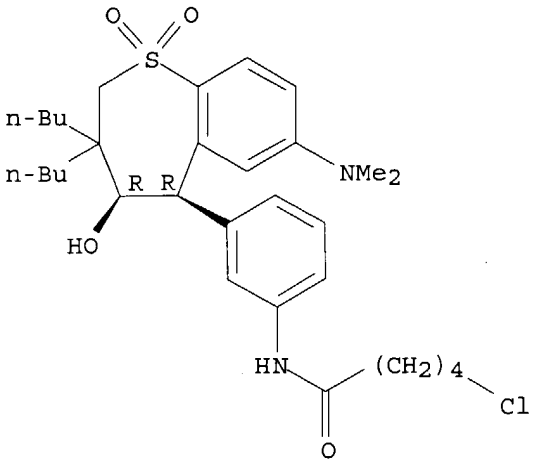
RN 213312-84-6 CAPLUS
CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, iodide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **213312-74-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of ileal bile acid transport inhibiting benzothiepines for combination therapy with HMG Co-A reductase inhibitors)
RN 213312-74-4 CAPLUS
CN Pentanamide, 5-chloro-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:623163 CAPLUS
DN 127:307312
TI Novel benzothiepines having activity as inhibitors of ileal bile acid transport and taurocholate uptake
IN Reitz, David B.; Lee, Len F.; Li, Jinglin J.; Huang, Horng-Chih; Tremont, Samuel J.; Miller, Raymond E.; Banerjee, Shyamal C.

PA G.D. Searle and Co., USA; Reitz, David B.; Lee, Len F.; Li, Jinglin J.; Huang, Horng-Chih; Tremont, Samuel J.; Miller, Raymond E.; Banerjee, Shyamal C.

SO PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9733882	A1	19970918	WO 1997-US4076	19970311
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	AU 723123	B2	20000817		
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	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
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	CN 1110494	B	20030604		
	BR 9708042	A	19990727	BR 1997-8042	19970311
	JP 2001526627	T2	20011218	JP 1997-532875	19970311
	RU 2202549	C2	20030420	RU 1998-118643	19970311
	EP 1440972	A1	20040728	EP 2004-10088	19970311
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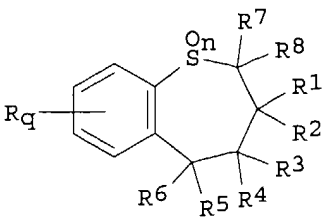
	US 6642268	B2	20031104		
PRAI	US 1996-13119P	P	19960311		
	US 1997-816065	A	19970311		
	US 1994-305526	B2	19940913		
	US 1995-517051	B1	19950821		
	AU 1997-23266	A3	19970311		
	EP 1997-915976	A3	19970311		
	US 1997-40660P	P	19970311		
	WO 1997-US4076	W	19970311		
	US 1997-831284	B3	19970331		
	US 2000-676466	A3	20000929		

OS MARPAT 127:307312

GI



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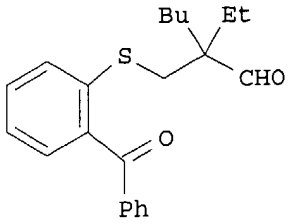

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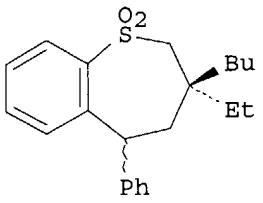


III

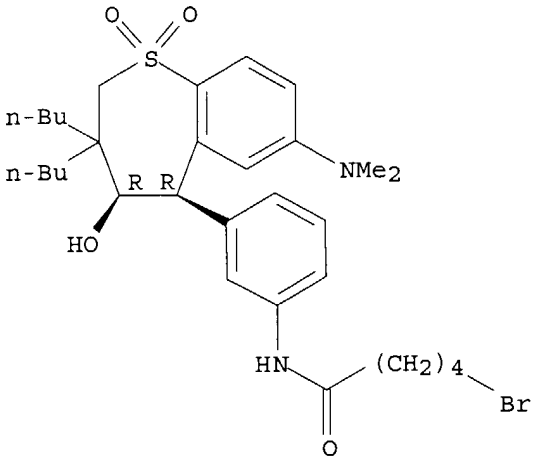
AB Novel benzothiepines I [q = 1-4; n = 0-2; R = H, halo, (un)substituted alk(en/yn)yl, acyloxy, aryl, heterocyclyl, OH or NH2 or SH or derivs., etc.; R1, R2 = H, (un)substituted and/or heteroatom-replaced alk(en/yn)yl, cycloalkyl, aryl, alkoxy, alkylthio, dialkylamino; or CR1R2 = C3-10 cycloalkylidene; R3, R4 = H, alk(en/yn)yl, acyloxy, aryl, heterocyclyl, OH or NH2 or SH or derivs.; or R3R4 = O, S, NH, NOH, NNH2, CH2 or derivs.; R5, R6 = H, (un)substituted alk(en/yn)yl, cycloalkyl, aryl, heterocyclyl, OH or SH or derivs.; R7, R8 = H, alkyl] and their derivs. and analogs are provided. Also provided are pharmaceutical compns. containing I and methods of their medical use, particularly in the prophylaxis and treatment of hyperlipidemic conditions, such as those associated with atherosclerosis or hypercholesterolemia. For instance, the keto aldehyde II was cyclized by Zn/TiCl3, and the resultant cycloolefin was oxidized and epoxidized by m-ClC6H4C(O)OOH and hydrogenated over Pd/C to give epimeric title compds. α- and β-III in 25% and 13% yield, plus addnl. compds. In a test for inhibition of IBAT-mediated uptake of [14C]-taurocholate in H14 cells in vitro, β-III had an IC50 of 5 μM.

IT **197373-52-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of benzothiepines as antihyperlipidemics)

RN 197373-52-7 CAPLUS

CN Pentanamide, 5-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **197373-54-9P 197374-04-2P 197374-59-7P**
197384-36-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of benzothiepines as antihyperlipidemics)

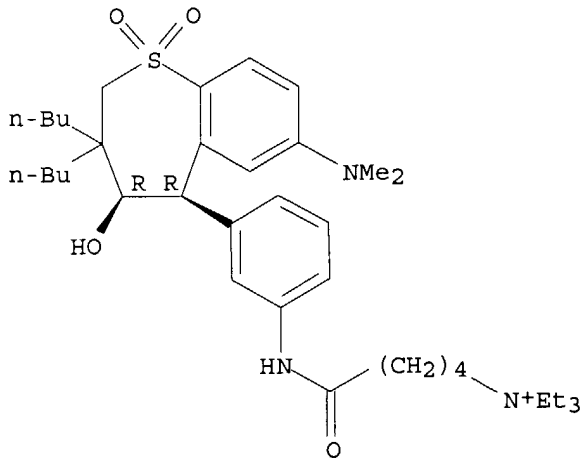
RN 197373-54-9 CAPLUS

CN 1-Pentanaminium, 5-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-5-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 197373-53-8
CMF C37 H60 N3 O4 S

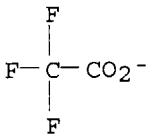
Relative stereochemistry.



CM 2

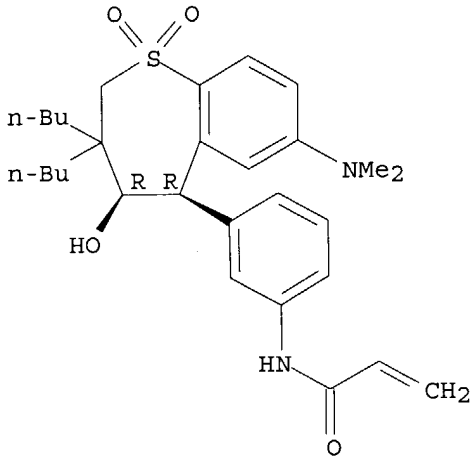
CRN 14477-72-6

CMF C2 F3 O2



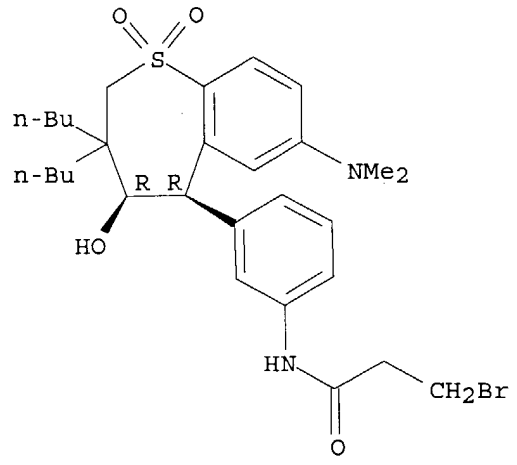
RN 197374-04-2 CAPLUS
CN 2-Propenamide, N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 197374-59-7 CAPLUS
CN Propanamide, 3-bromo-N-[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

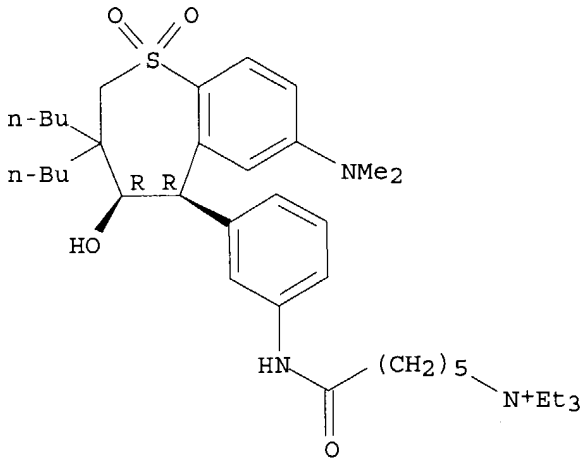


RN 197384-36-4 CAPLUS
CN 1-Hexanaminium, 6-[[3-[(4R,5R)-3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-N,N,N-triethyl-6-oxo-, rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

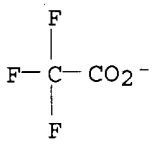
CRN 197384-35-3
CMF C38 H62 N3 O4 S

Relative stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



=> dis hist

(FILE 'HOME' ENTERED AT 16:29:25 ON 25 AUG 2004)

FILE 'REGISTRY' ENTERED AT 16:30:00 ON 25 AUG 2004
L1 STRUCTURE UPLOADED
L2 3 S L1 SSS SAM
L3 72 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:31:12 ON 25 AUG 2004
L4 7 S L3/PREP AND L3/THU

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